

chain nodes :

7 9 10 11 18 39 42 43 56 57 58 59 60 65

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30  
31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55

chain bonds :

5-42 6-7 7-9 7-10 10-11 11-39 18-21 42-65 43-45 51-56 56-57 58-59 58-60

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24  
20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34  
31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53  
53-54 54-55

exact/norm bonds :

5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 42-65  
58-59 58-60

exact bonds :

6-7 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34  
43-45 51-56 56-57

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49  
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

isolated ring systems :

containing 1 : 19 : 25 : 44 : 50 :

G1:0,S

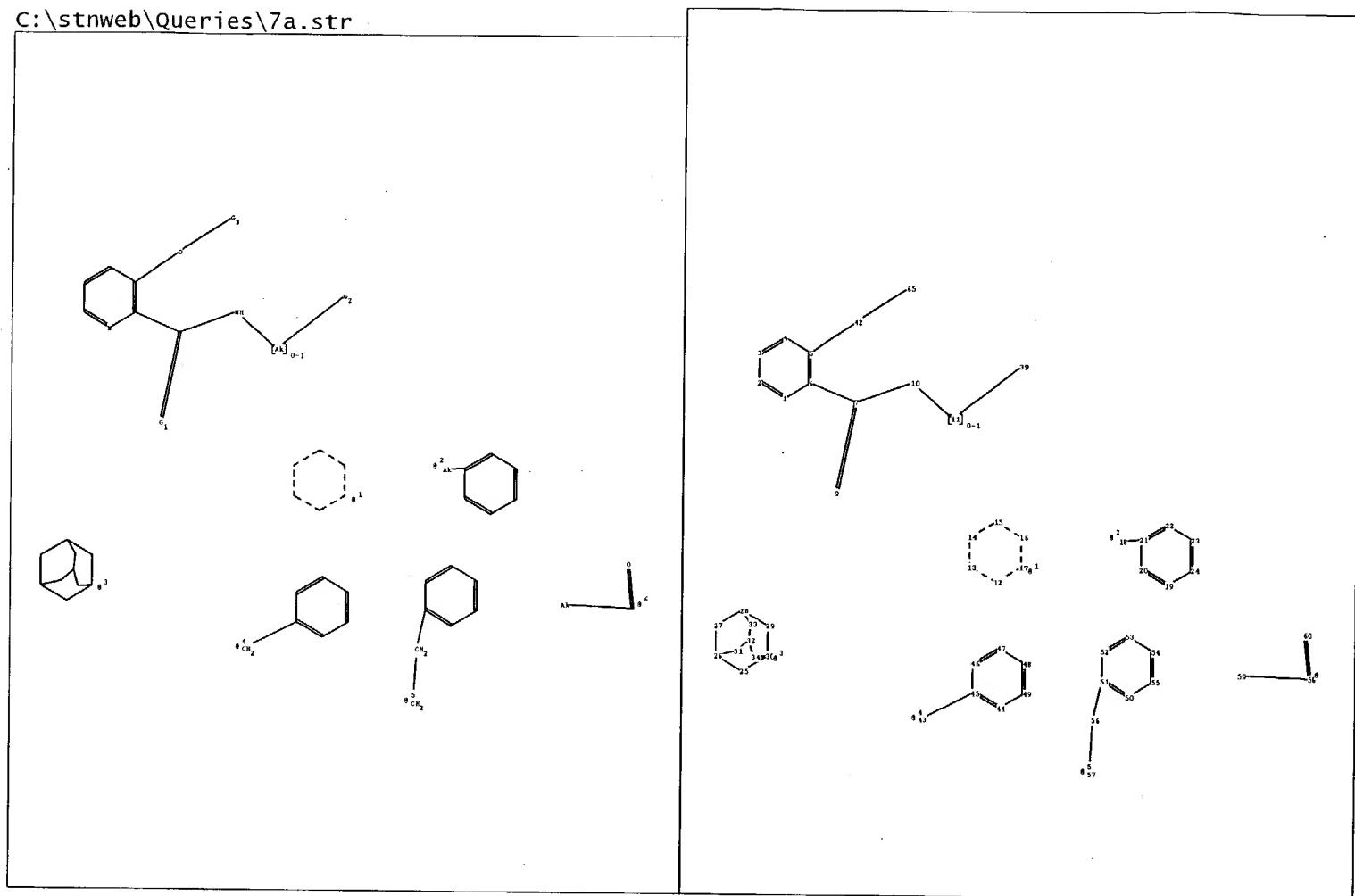
G2:[\*1],[\*2],[\*3]

G3:Ak,H,[\*4],[\*5],[\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS  
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom  
22:Atom

23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom  
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom  
47:Atom 48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS  
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS



chain nodes :

7 9 10 11 18 39 42 43 56 57 58 59 60 65

ring nodes :

chain bonds : 1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 29 30  
 31 32 33 34 44 45 46 47 48 49 50 51 52 53 54 55

## chain bonds

ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24  
 20-21 21-22 22-23 23-24 25-26 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34  
 31-32 32-33 32-34 44-45 44-49 45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53  
 53-54 54-55

exact/norm bonds :

5-42 7-9 7-10 10-11 11-39 12-13 12-17 13-14 14-15 15-16 16-17 18-21 25-26  
 25-30 26-27 26-31 27-28 28-29 28-33 29-30 30-34 31-32 32-33 32-34 42-65 58-59  
 58-60

exact bonds :

6-7 43-45 51-56 56-57

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 19-20 19-24 20-21 21-22 22-23 23-24 44-45 44-49  
45-46 46-47 47-48 48-49 50-51 50-55 51-52 52-53 53-54 54-55

G1:0, S

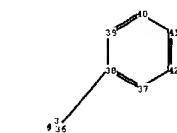
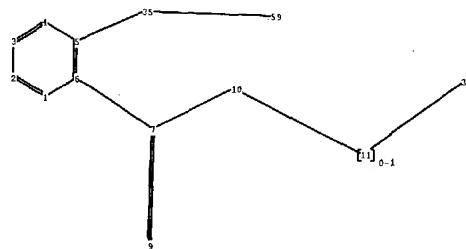
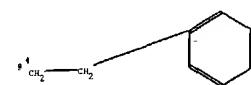
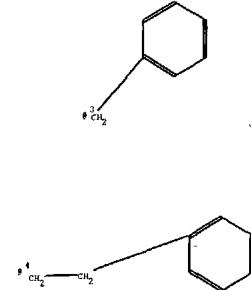
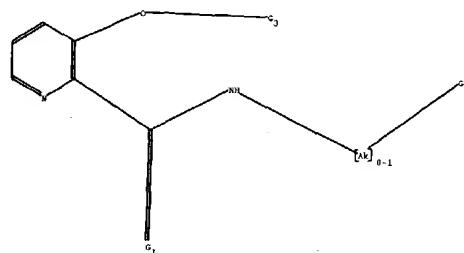
G2:[\*1],[\*2],[\*3]

G3: Ak, H, [\*4], [\*5], [\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS  
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:Atom 20:Atom 21:Atom  
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom  
32:Atom 33:Atom 34:Atom 39:CLASS 42:CLASS 43:CLASS 44:Atom 45:Atom 46:Atom  
47:Atom

48:Atom 49:Atom 50:Atom 51:Atom 52:Atom 53:Atom 54:Atom 55:Atom 56:CLASS  
57:CLASS 58:CLASS 59:CLASS 60:CLASS 65:CLASS



chain nodes :

7 9 10 11 32 35 36 43 44 51 52 53 59

ring nodes :

1 2 3 4 5 6 12 13 14 15 16 17 19 20 21 22 23 24 25 26 27 28 37 38  
39 40 41 42 45 46 47 48 49 50

chain bonds :

5-35 6-7 7-9 7-10 10-11 11-32 35-59 36-38 43-44 44-47 51-52 52-53

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 12-13 12-17 13-14 14-15 15-16 16-17 19-20 19-24  
20-21 20-25 21-22 22-23 22-27 23-24 24-28 25-26 26-27 26-28 37-38 37-42 38-39  
39-40 40-41 41-42 45-46 45-50 46-47 47-48 48-49 49-50

exact/norm bonds :

5-35 7-9 7-10 10-11 11-32 12-13 12-17 13-14 14-15 15-16 16-17 22-27 35-59  
51-52 52-53

exact bonds :

6-7 19-20 19-24 20-21 20-25 21-22 22-23 23-24 24-28 25-26 26-27 26-28 36-38  
43-44 44-47

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 37-38 37-42 38-39 39-40 40-41 41-42 45-46 45-50  
46-47 47-48 48-49 49-50

isolated ring systems :

containing 1 : 12 : 19 : 37 : 45 :

G1:0,S

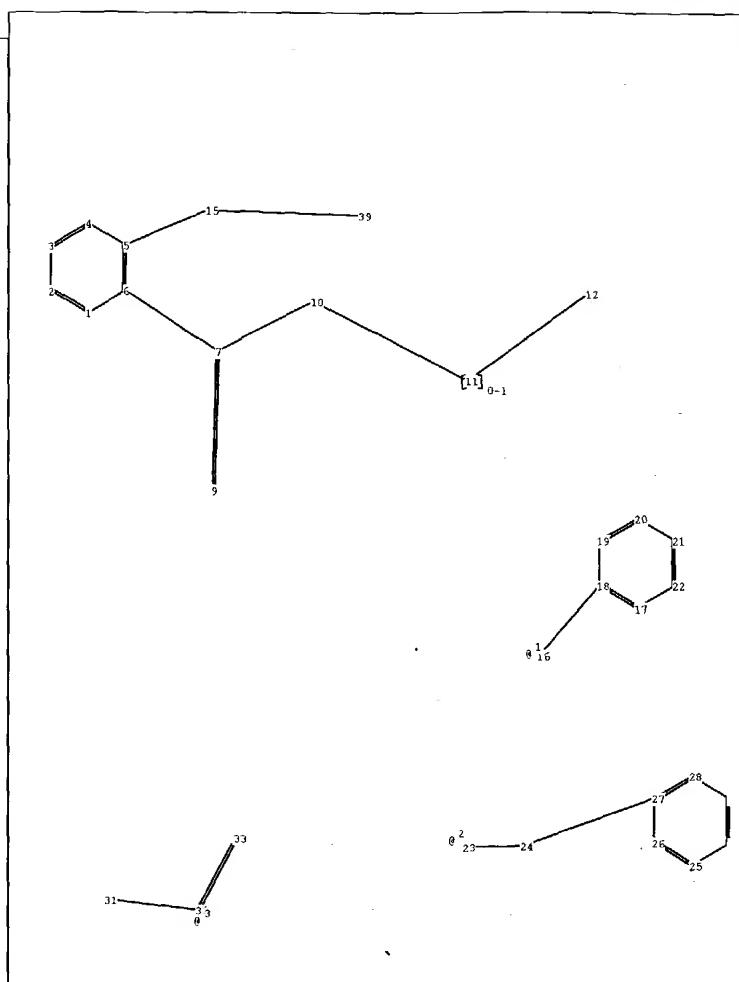
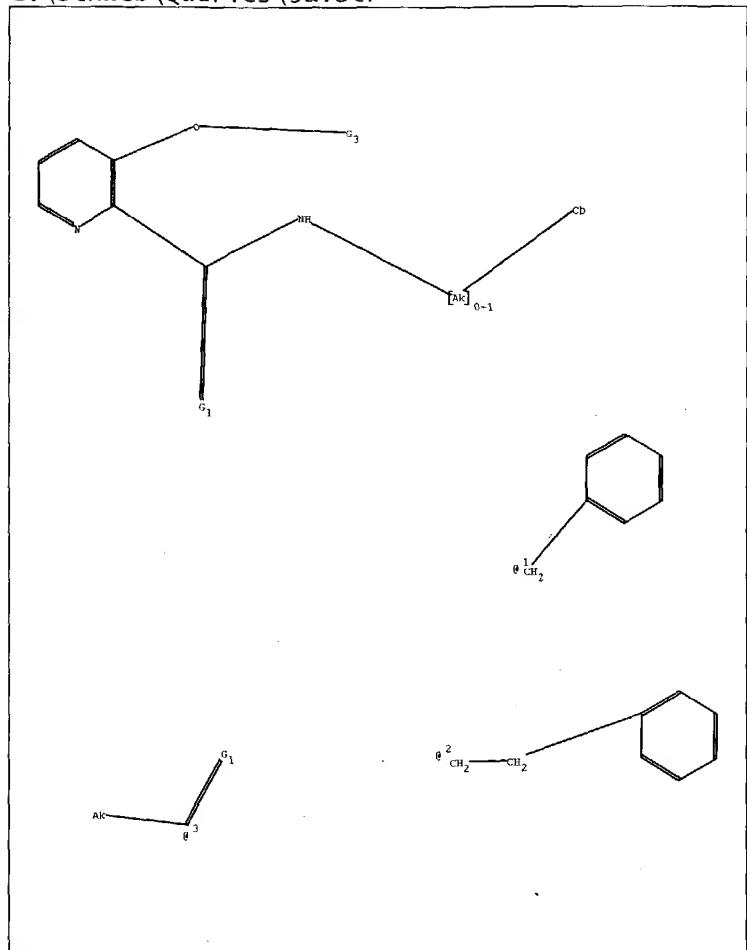
G2:[\*1],[\*2]

G3:H,Ak,[\*3],[\*4],[\*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS  
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 19:Atom 20:Atom 21:Atom 22:Atom  
23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 32:CLASS 35:CLASS 36:CLASS  
37:Atom

38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:CLASS 44:CLASS 45:Atom  
46:Atom 47:Atom 48:Atom 49:Atom 50:Atom 51:CLASS 52:CLASS 53:CLASS 59:CLASS



chain nodes :

7 9 10 11 12 15 16 23 24 31 32 33 39

ring nodes :

chain bonds : 5-15 6-7 7-9 7-10 10-11 11-12 15-39 16-18 23-24 24-27 31-32 32-33

5-15 6-7 7-9 7-10 10-11 11-12 15-39 16-18 23-24 24-27 31-32 32-33  
 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30  
 26-27 27-28 28-29 29-30

exact/norm bonds : 5-15 7-9 7-10 10-11 11-12 15-39 31-32 32-33

exact bonds :

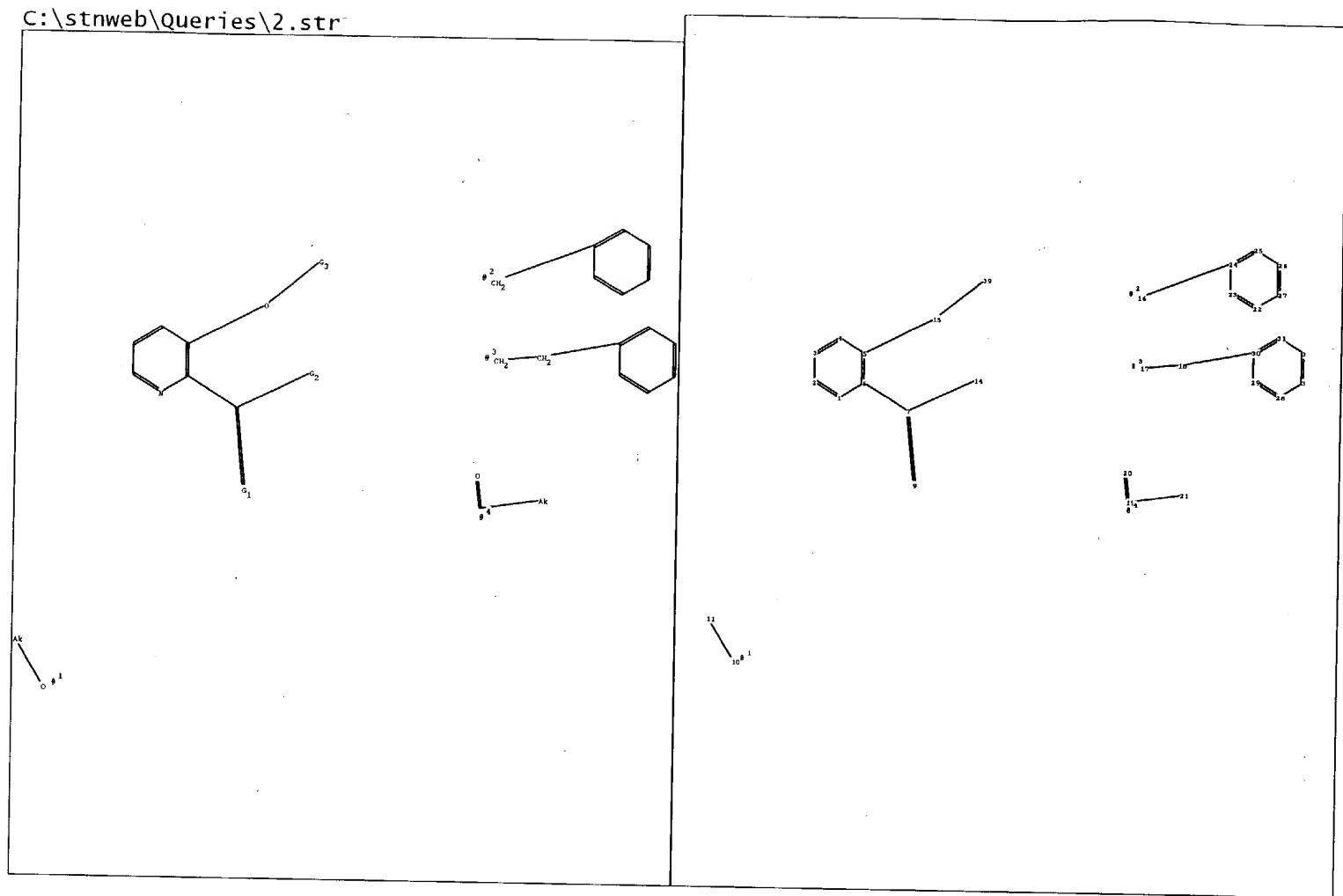
normalized bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 17-18 17-22 18-19 19-20 20-21 21-22 25-26 25-30  
 26-27 27-28 28-29 29-30

G1:0,s

G3:H,Ak, [\*1], [\*2], [\*3]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS  
12:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom  
23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:CLASS  
32:CLASS 33:CLASS 39:CLASS



chain nodes :

7 9 10 11 14 15 16 17 18 19 20 21 39

ring nodes :

1 2 3 4 5 6 22 23 24 25 26 27 28 29 30 31 32 33

chain bonds :

5-15 6-7 7-9 7-14 10-11 15-39 16-24 17-18 18-30 19-20 19-21

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33

29-30 30-31 31-32 32-33

exact/norm bonds :

5-15 7-9 7-14 10-11 15-39 19-20 19-21

exact bonds :

6-7 16-24 17-18 18-30

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 22-23 22-27 23-24 24-25 25-26 26-27 28-29 28-33

29-30 30-31 31-32 32-33

isolated ring systems :

containing 1 : 22 : 28 :

G1:O,S

G2:OH,X,[\*1]

G3:H,[\*2],[\*3],[\*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 9:CLASS 10:CLASS 11:CLASS  
 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:Atom  
 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom  
 33:Atom 39:CLASS

<u>NEWS 1</u>	Web Page URLs for STN Seminar Schedule - N. America	
<u>NEWS 2</u>	"Ask CAS" for self-help around the clock	
<u>NEWS 3</u>	JUL 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
<u>NEWS 4</u>	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
<u>NEWS 5</u>	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
<u>NEWS 6</u>	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
<u>NEWS 7</u>	AUG 27	BIOCOMMERCE: Changes and enhancements to content coverage
<u>NEWS 8</u>	AUG 27	BIOTECHABS/BIOTECHDHS: Two new display fields added for legal status data from INPADOC
<u>NEWS 9</u>	SEP 01	INPADOC: New family current-awareness alert (SDI) available
<u>NEWS 10</u>	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
<u>NEWS 11</u>	SEP 01	New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
<u>NEWS 12</u>	SEP 27	STANDARDS will no longer be available on STN
<u>NEWS 13</u>	SEP 27	SWETSCAN will no longer be available on STN
<u>NEWS 14</u>	OCT 28	KOREAPAT now available on STN
<u>NEWS EXPRESS</u>	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004	
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STRUCTURE FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5  
DICTIONARY FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5

eb

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP\_PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
 L1 STRUCTURE UPLOADED

=> s 11  
 GENERIC GROUP NOT VALID HERE  
 Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>  
 L2 STRUCTURE UPLOADED

=> s 12  
 GENERIC GROUP NOT VALID HERE  
 Generic groups may not be used in these circumstances:

1. Any generic group node (e.g., Hy) in a ring.
2. An Ak node attached to another Ak node.

=>  
 L3 STRUCTURE UPLOADED

=> l3  
 L3 IS NOT A RECOGNIZED COMMAND  
 The previous command name entered was not recognized by the system.  
 For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l3  
 L3 HAS NO ANSWERS  
 L3 STR

=> s l3  
 SAMPLE SEARCH INITIATED 00:54:18 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 138 TO ITERATE

100.0% PROCESSED 138 ITERATIONS 36 ANSWERS  
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 2056 TO 3464  
 PROJECTED ANSWERS: 360 TO 1080

L4 36 SEA SSS SAM L3

=> s 13 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:Y  
 FULL SEARCH INITIATED 00:54:23 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 2439 TO ITERATE

100.0% PROCESSED 2439 ITERATIONS  
 SEARCH TIME: 00.00.01

666 ANSWERS

L5 666 SEA SSS FUL L3

=>

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS  
 L6 STR

=> s 16

SAMPLE SEARCH INITIATED 00:56:58 FILE 'REGISTRY'  
 SAMPLE SCREEN SEARCH COMPLETED - 198 TO ITERATE

100.0% PROCESSED 198 ITERATIONS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*  
 PROJECTED ITERATIONS: 3116 TO 4804  
 PROJECTED ANSWERS: 752 TO 1688

L7 50 SEA SSS SAM L6

=> s 16 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 155.00 U.S. DOLLARS  
 DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:Y  
 FULL SEARCH INITIATED 00:57:05 FILE 'REGISTRY'  
 FULL SCREEN SEARCH COMPLETED - 3395 TO ITERATE

100.0% PROCESSED 3395 ITERATIONS  
 SEARCH TIME: 00.00.01

1060 ANSWERS

L8 1060 SEA SSS FUL L6

=> d his

(FILE 'HOME' ENTERED AT 00:39:39 ON 08 NOV 2004)

FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

L1 STRUCTURE UPLOADED  
 L2 STRUCTURE UPLOADED  
 L3 STRUCTURE UPLOADED  
 L4 36 S L3  
 L5 666 S L3 FULL  
 L6 STRUCTURE UPLOADED  
 L7 50 S L6  
 L8 1060 S L6 FULL

=> s 12 not 15

L9 394 L8 NOT L5

=> file hcaplus			
COST IN U.S. DOLLARS		SINCE FILE	TOTAL
		ENTRY	SESSION
FULL ESTIMATED COST		322.18	322.39

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20  
 FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 19  
 L10 23 L9

=> s l10 and imamurax2, k?/au  
 1317 IMAMURA, K?/AU  
 L11 1 L10 AND IMAMURA, K?/AU

=> d l11, ibib abs fbitstr, i

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

<input checked="" type="checkbox"/> Full	<input type="checkbox"/> Simple
<input type="checkbox"/> Text	<input checked="" type="checkbox"/> Graphics
ACCESSION NUMBER:	2000:314676 HCAPLUS
DOCUMENT NUMBER:	132:334362
TITLE:	Preparation of picolinamide derivatives and pest controllers containing the same as the active ingredient
INVENTOR(S):	Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto
PATENT ASSIGNEE(S):	Meiji Seika Kaisha, Ltd., Japan
SOURCE:	PCT Int. Appl., 98 pp.
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
<u>PATENT INFORMATION:</u>	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
<u>WO 2000026191</u>	A1	20000511	<u>WO 1999-JP6142</u>	19991104

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2353627 AA 20000511 CA 1999-2353627 19991104

EP 1134214 A1 20010919 EP 1999-954375 19991104

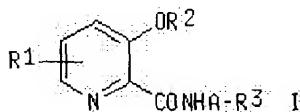
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AU 771975 B2 20040408 AU 2000-10768 19991104

PRIORITY APPLN. INFO.: JP 1998-313688 A 19981104  
WO 1999-JP6142 W 19991104

OTHER SOURCE(S): MARPAT 132:334362

GI



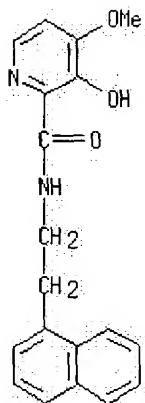
AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R<sup>1</sup> is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R<sup>2</sup> is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R<sup>3</sup> is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R<sup>1</sup> is hydrogen, A is a free valency or methylene, and R<sup>3</sup> is Ph or cyclohexyl or those wherein A is alkylene and R<sup>3</sup> is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxy picolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

IT 267415-81-6P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of picolinamide derivs. as pest controllers)

RN 267415-81-6 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

⇒ 3 kids

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FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

L1	STRUCTURE	UPLOADED	
L2	STRUCTURE	UPLOADED	
L3	STRUCTURE	UPLOADED	
L4	36	S L3	
L5	666	S L3 FULL	
L6		STRUCTURE	UPLOADED
L7	50	S L6	
L8	1060	S L6 FULL	
L9	394	S L8 NOT L5	

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

L10 23 S L9  
L11 1 S L10 AND IMAMURA, K?/AU

=> s 110 not 111  
L12 22 L10 NOT L11

=> s l12 and mitomo, k?/au  
41 MITOMO, K?/AU  
L13 0 L12 AND MITOMO, K?/AU

=> s L12 and yamada, n?/au  
3331 YAMADA, N?/AU  
L14 0 L12 AND YAMADA, N?/AU

=> s l12 and yamamoto, k?/au  
16192 YAMAMOTO, K?/AU  
L15 0 L12 AND YAMAMOTO, K?/AU

=> s l12 and teraoka, t?/au  
360 TERAOKA, T?/AU  
L16 0 L12 AND TERAOKA, T?/AU

=> s 112 and sakanaka, o?/au  
23 SAKANAKA, O?/AU  
L17 0 L12 AND SAKANAKA, O?/AU

=> s l12 and kurihara, h?/au  
 1265 KURIHARA, H?/AU  
 L18 0 L12 AND KURIHARA, H?/AU

=> s l12 and taniguchi, m?/au  
 3468 TANIGUCHI, M?/AU  
 L19 0 L12 AND TANIGUCHI, M?/AU

=> d l12, ibib abs fhitstr, i~22

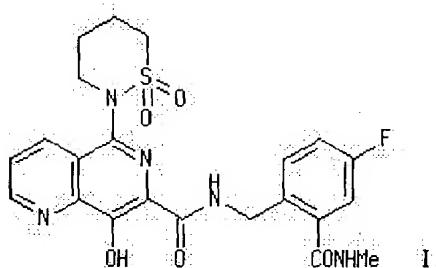
L12 ANSWER 1 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full  ~~Chemical~~  
 Text  ~~Referenced~~

ACCESSION NUMBER: 2004:780495 HCAPLUS  
 DOCUMENT NUMBER: 141:296002  
 TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor  
 INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.  
 PATENT ASSIGNEE(S): Merck & Co. Inc., USA  
 SOURCE: PCT Int. Appl., 49 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080402	A2	20040923	WO 2004-US6968	20040308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-453896P P 20030312  
 GI



AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prep'd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.735 kg, 6.0 wt.% water), anhyd. HOBT (341 g), N-methyl-2-amino-5-fluorobenzene carboxamide hydrochloride (1.32 kg), and NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 µm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT 761452-50-0P

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

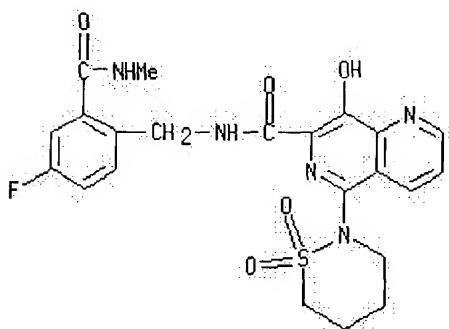
RN 761452-50-0 HCPLUS

CN INDEX NAME NOT YET ASSIGNED

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CRN 606080-42-6

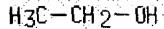
CMF C22 H22 F N5 O5 S



CM 2

CRN 64-17-5

CMF C2 H6 O



L12 ANSWER 2 OF 22 HCPLUS COPYRIGHT 2004 ACS on STN

Full

Text

ACCESSION NUMBER:

2004:686348 HCPLUS

DOCUMENT NUMBER:

141:235759

TITLE:

A naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase

AUTHOR(S):

Hazuda, Daria J.; Anthony, Neville J.; Gomez, Robert P.; Jolly, Samson M.; Wai, John S.; Zhuang, Linghang; Fisher, Thorsten E.; Embrey, Mark; Guare, James P., Jr.; Egbertson, Melissa S.; Vacca, Joseph P.; Huff, Joel R.; Felock, Peter J.; Witmer, Marc V.; Stillmoeck, Kara A.; Danovich, Robert; Grobler, Jay; Miller, Michael D.; Espeseth, Amy S.; Jin, Lixia; Chen, I-Wu; Lin, Jiunn H.; Kassahun, Kelem; Ellis, Joan D.; Wong, Bradley K.; Xu, Wei; Pearson, Paul G.; Schleif, William A.; Cortese, Riccardo; Emini, Emilio; Summa, Vincenzo; Holloway, M. Katharine; Young, Steven D.

CORPORATE SOURCE:

Department of Biological Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE:

Proceedings of the National Academy of Sciences of the United States of America (2004), 101(31), 11233-11238

CODEN: PNASA6; ISSN: 0027-8424

PUBLISHER:

National Academy of Sciences

DOCUMENT TYPE:

Journal

LANGUAGE:

English

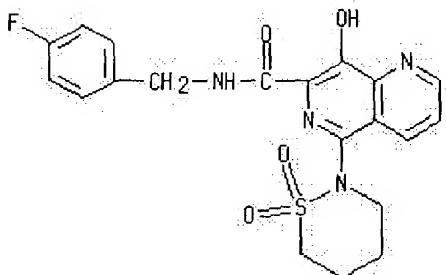
AB The increasing incidence of resistance to current HIV-1 therapy underscores the need to develop antiretroviral agents with new mechanisms of action. Integrase, one of three viral enzymes essential for HIV-1 replication, presents an important yet unexploited opportunity for drug development. The authors describe here the identification and characterization of L-870,810, a small-mol. inhibitor of HIV-1 integrase with potent antiviral activity in cell culture and good pharmacokinetic properties. L-870,810 is an inhibitor with an 8-hydroxy-(1,6)-naphthyridine-7-carboxamide pharmacophore. The compd. inhibits HIV-1 integrase-mediated strand transfer, and its antiviral activity *in vitro* is a direct consequence of this ascribed effect on integration. L-870,810 is mechanistically identical to previously described inhibitors from the diketo acid series; however, viruses selected for resistance to L-870,810 contain mutations (integrase residues 72, 121, and 125) that uniquely confer resistance to the naphthyridine. Conversely, mutations assocd. with resistance to the diketo acid do not engender naphthyridine resistance. Importantly, the mutations assocd. with resistance to each of these inhibitors map to distinct regions within the integrase active site. Therefore, the authors propose a model of the two inhibitors that is consistent with this observation and suggests specific interactions with discrete binding sites for each ligand. These studies provide a structural basis and rationale for developing integrase inhibitors with the potential for unique and nonoverlapping resistance profiles.

IT 410544-95-5, L-870810

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(naphthyridine carboxamide provides evidence for discordant resistance between mechanistically identical inhibitors of HIV-1 integrase in

RN relation to pharmacokinetic properties)  
 RN 410544-95-5 HCPLUS  
 CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-  
 (tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 22 HCPLUS COPYRIGHT 2004 ACS on STN

Full  Abstract  
 Text  References

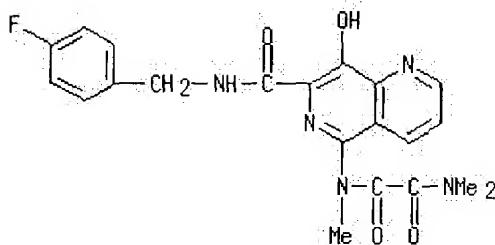
ACCESSION NUMBER: 2004:587781 HCPLUS  
 DOCUMENT NUMBER: 141:253713  
 TITLE: Integrase Inhibitors and Cellular Immunity Suppress Retroviral Replication in Rhesus Macaques  
 AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.  
 CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA  
 SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532  
 CODEN: SCIEAS; ISSN: 0036-8075  
 PUBLISHER: American Association for the Advancement of Science  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques infected with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic infection; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity in vivo and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral infections.

IT 410545-90-3, L 870812

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)

RN 410545-90-3 HCPLUS

CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text   References

ACCESSION NUMBER: 2004:308423 HCAPLUS  
 DOCUMENT NUMBER: 140:332510  
 TITLE: Neurologically active heterocyclic compounds, their preparation, and their therapeutic use  
 INVENTOR(S): Kok, Gaik Beng; Leung, Brenda Kwan Yi; Gautier, Elisabeth Colette Louise; Barnham, Kevin Jeffrey  
 PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia  
 SOURCE: PCT Int. Appl., 183 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031161	A1	20040415	WO 2003-AU1303	20031003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			AU 2002-951864	A 20021004
			AU 2002-951865	A 20021004
			AU 2002-951866	A 20021004
			AU 2002-951868	A 20021004

OTHER SOURCE(S): MARPAT 140:332510

AB The invention discloses neurol.-active compds. which are heterocyclic compds. having two fused 6-membered rings with a nitrogen atom at position 1 and a hydroxy or mercapto group at position 8 with at least one ring being arom. Also disclosed are processes for the prepn. of these compds. and their use as pharmaceutical or veterinary agents, in particular for the treatment of neurol. conditions, more specifically neurodegenerative conditions such as Alzheimer's disease.

IT **679797-87-6P**

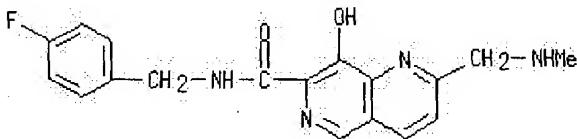
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

## (Uses)

(neurol. active heterocyclic compds., prepn., and therapeutic use)

RN 679797-87-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-2-[(methylamino)methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



# HCl

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

 Full  ~~selected~~  
 Text  ~~selected~~

ACCESSION NUMBER: 2004:203660 HCAPLUS  
 DOCUMENT NUMBER: 140:229445  
 TITLE: Method using heterocyclic carboxamides for preventing or treating atherosclerosis or restenosis  
 INVENTOR(S): Wathen, Michael W.; Wathen, Lynne K.  
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
 SOURCE: PCT Int. Appl., 190 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

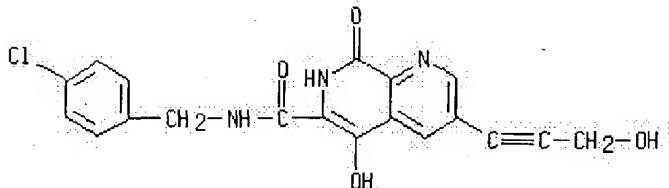
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2004019933</u>	A1	20040311	<u>WO 2003-US26963</u>	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
<u>US 2004176366</u>	A1	20040909	<u>US 2003-651290</u>	20030828
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2002-407563P</u>	P 20020830
			<u>US 2003-469630P</u>	P 20030509

OTHER SOURCE(S): MARPAT 140:229445

AB The invention provides a method of preventing or treating atherosclerosis or restenosis in mammals, which comprises administering an effective amt. of a heterocyclic carboxamide.

IT 389796-61-6RL: AGR (Agricultural use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (heterocyclic carboxamides for preventing or treating atherosclerosis

or restenosis)  
 RN 389796-61-6 HCAPLUS  
 CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full    
 Text  References

ACCESSION NUMBER: 2004:20782 HCAPLUS  
 DOCUMENT NUMBER: 140:62116  
 TITLE: Method of removal of carbonyl compounds along with acid gases from cracked gas in ethylene process  
 INVENTOR(S): Subramaniyam, Mahesh  
 PATENT ASSIGNEE(S): Dorf Ketal Chemicals India Pvt. Ltd., India  
 SOURCE: PCT Int. Appl., 27 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2004003110</u>	A1	20040108	<u>WO 2002-IN195</u>	20020930
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-391717P P 20020626  
 AB A method for inhibiting and dissolving polymeric deposits on the internal surfaces of a caustic wash unit system in a hydrocarbon cracking process in which the deposits result from polymn. of  $\geq 1$  component contained within a feed stream of the caustic wash unit system. The method comprises the step of introducing into the stream an effective amt. of a compd. selected from a group consisting of alkali metal salts of oxo acids of S, alkali metal salts of acids of lactam, alkali metal salts of acids of sultam, alkali metal salts of amino acids, alk. earth metal salts of acids of sultam, alk. earth metal salts of amino acids, lactam, sultam, amino acids, and combinations thereof, in which the effective amt. inhibits polymn. and dissolves the deposits.

IT 411233-43-7

RL: NUU (Other use, unclassified); TEM (Technical or engineered material

use); USES (Uses)

(method of removal of carbonyl compds. along with acid gases from cracked gas in ethylene process)

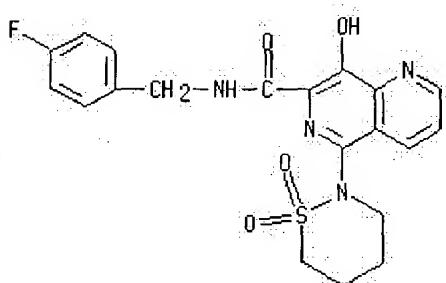
RN 411233-43-7 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 410544-95-5

CMF C20 H19 F N4 O4 S



CM 2

CRN 64-17-5

CMF C2 H6 O

H<sub>3</sub>C-CH<sub>2</sub>-OH

REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

 Full Text
  Structure
  References

ACCESSION NUMBER: 2003:836794 HCAPLUS  
 DOCUMENT NUMBER: 139:341742  
 TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant  
 INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 94 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,  
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,  
 RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
 NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
 GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2002-371296P

P 20020410

OTHER SOURCE(S):

MARPAT 139:341742

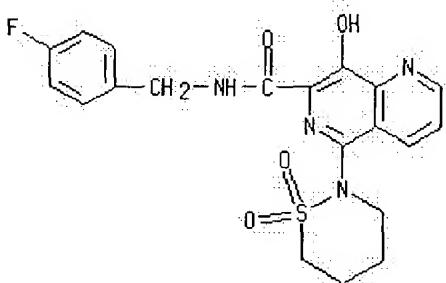
AB Pharmaceutical compns. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Compds. of this invention are HIV integrase inhibitors, and the pharmaceutical compns. are useful for preventing or treating HIV infection or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compns. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prep. encapsulated and tableted forms of the pharmaceutical compns. are described.

IT 410544-95-5P

RL: PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (oral compns. contg. HIV integrase inhibitor and nonionic surfactant)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 8 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text  References

ACCESSION NUMBER:

2003:757475 HCAPLUS

DOCUMENT NUMBER:

139:276879

TITLE:

Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS

INVENTOR(S):

Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

PATENT ASSIGNEE(S):

Merck &amp; Co., Inc., USA

SOURCE:

PCT Int. Appl., 217 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

h

eb c

g cg b

cg

eb

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003077857</u>	A2	20030925	<u>WO 2003-US7671</u>	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			<u>US 2002-364929P</u>	P 20020315
OTHER SOURCE(S):		MARPAT 139:276879		
GI				

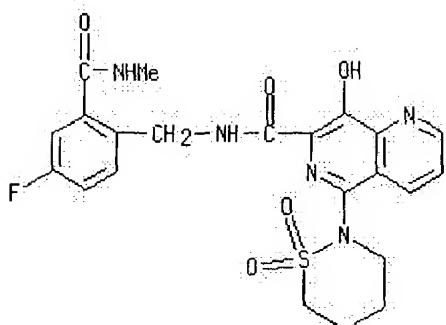
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prep'd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prep'd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prep'd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl<sub>3</sub>, O-tosylation in CHCl<sub>3</sub>, condensation of the bromide with 1,4-butanestultam in DMF in the presence of Cu<sub>2</sub>O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC<sub>50</sub> < 0.5 μM. The same compds. inhibited HIV replication in T-lymphoid cells with IC<sub>95</sub> < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 9 OF 22 HCPLUS COPYRIGHT 2004 ACS on STN

Full  Tables  
 Text  References

ACCESSION NUMBER: 2003:757471 HCPLUS  
 DOCUMENT NUMBER: 139:276878  
 TITLE: Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV infection/AIDS  
 INVENTOR(S): Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 114 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077850	A2	20030925	WO 2003-US7448	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2002-364929P	P 20020315
OTHER SOURCE(S):		MARPAT 139:276878		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

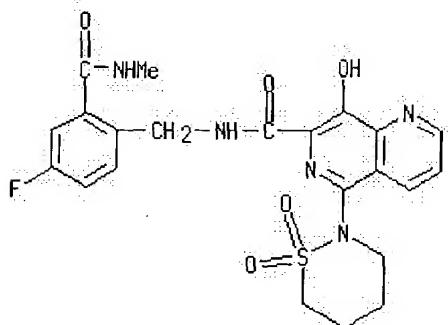
AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4

heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prep'd. as HIV-integrase inhibitors for preventing and treating infection by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prep'd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prep'd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl<sub>3</sub>, O-tosylation in CHCl<sub>3</sub>, condensation of the bromide with 1,4-butanesultam in DMF in the presence of Cu<sub>2</sub>O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC<sub>50</sub> < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC<sub>95</sub> < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 606080-42-6P, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (HIV integrase inhibitor; prep'n. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN 606080-42-6 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 10 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text   Chemical Structure

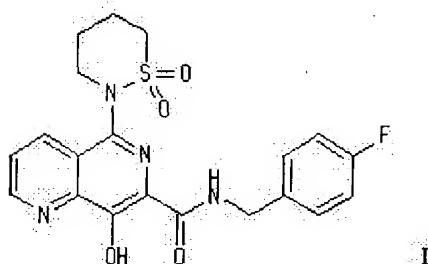
ACCESSION NUMBER: 2003:154434 HCAPLUS  
 DOCUMENT NUMBER: 138:205068  
 TITLE: Process for the preparation of a Na salt of a 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV integrase inhibitor  
 INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.; Mahajan, Amar J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 40 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016315</u>	A1	20030227	<u>WO 2002-US25675</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1430058</u>	A1	20040623	<u>EP 2002-794880</u>	20020813
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<u>US 2003119823</u>	A1	20030626	<u>US 2002-218537</u>	20020814
<u>PRIORITY APPLN. INFO.:</u>				
			<u>US 2001-313373P</u>	P 20010817
			<u>WO 2002-US25675</u>	W 20020813

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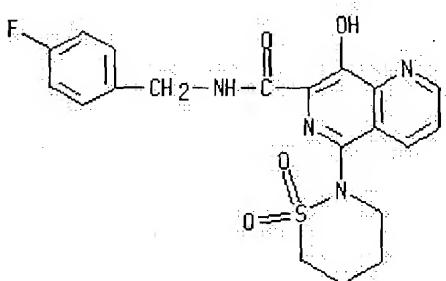


AB The cryst. sodium salt I•Na was prep'd. as an HIV integrase inhibitor for preventing or treating HIV infection, for delaying the onset of AIDS, and for treating AIDS (no data). I•Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester was coupled with 1,4-butane sultam (prepn. of starting materials given) in the presence of Cu2O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H2O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

IT 410545-86-7P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN 410545-86-7 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



# Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full   Text   References

ACCESSION NUMBER: 2003:154429 HCAPLUS  
 DOCUMENT NUMBER: 138:205040  
 TITLE: Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents  
 INVENTOR(S): Maligres, Peter E.; Askin, David  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016309</u>	A1	20030227	<u>WO 2002-US27151</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,				

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1427726 A1 20040616 EP 2002-763531 20020813  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-313376P P 20010817  
WO 2002-US27151 W 20020813

OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

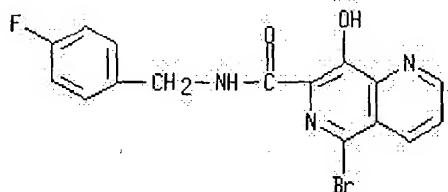
AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO<sub>2</sub>, cyano, CO<sub>2</sub>H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO<sub>2</sub>, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV infection, preventing HIV infection, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC<sub>50</sub> values of < 100 μM in an integrase inhibition assay, and inhibited acute HIV infection of T-lymphoid cells with IC<sub>95</sub> < 20 μM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl and Et<sub>3</sub>N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu<sub>2</sub>O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu<sub>2</sub>O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

IT **410544-56-8P**, 5-Bromo-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides

and sultams using Cu promoters and chelating agents)  
 RN 410544-56-8 HCAPLUS  
 CN 1,6-Naphthyridine-7-carboxamide, 5-bromo-N-[(4-fluorophenyl)methyl]-8-hydroxy- (9CI) (CA INDEX NAME)



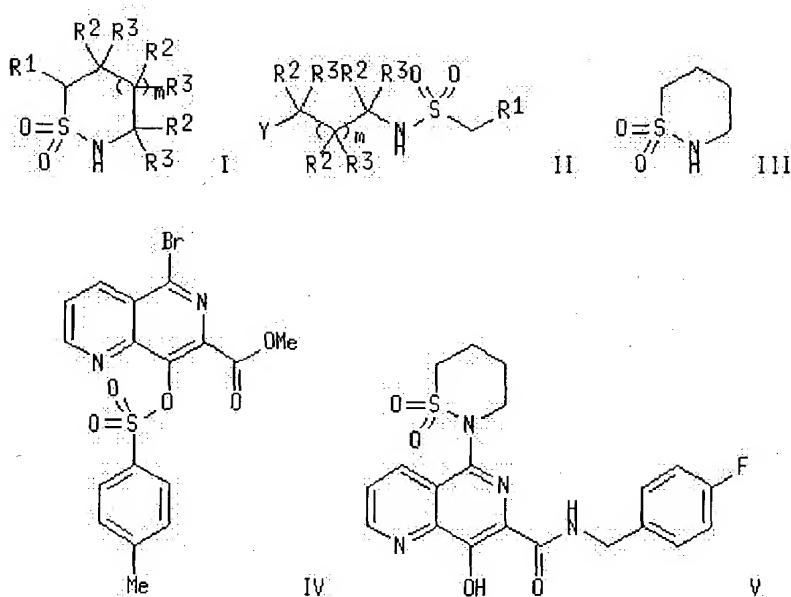
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full      
 Text      
 References

ACCESSION NUMBER: 2003:154416 HCAPLUS  
 DOCUMENT NUMBER: 138:205067  
 TITLE: Process for preparing sultams from alkanesulfonyl halides and haloalkylamines via intramolecular dianion alkylation of N-(haloalkyl)alkanesulfonamides, and application to the preparation of naphthyridinecarboxamides useful as HIV integrase inhibitors.  
 INVENTOR(S): Lee, Jaemoon; Askin, David; Jensen, Mark S.; Zhong, Yong-Li  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 43 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016294</u>	A1	20030227	<u>WO 2002-US25666</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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<u>US 2004186093</u>	A1	20040923	<u>US 2004-486526</u>	20040210
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2001-313375P</u>	P 20010817
			<u>WO 2002-US25666</u>	W 20020813
OTHER SOURCE(S): GI			CASREACT 138:205067; MARPAT 138:205067	



AB A new, superior prepn. of sultams is disclosed. In one embodiment an alkanesulfonyl halide reacts with a haloalkylamine to obtain the corresponding N-(haloalkyl)alkanesulfonamide, which is then cyclized in the presence of a deprotonating agent to give the sultam. The sultams include compds. useful as intermediates in the prepn. of naphthyridinecarboxamide compds. which are HIV integrase inhibitors. In particular, claims cover the prepn. of sultams I by treatment of precursors II with a deprotonating agent in an aprotic solvent [wherein: Y = leaving group without an active proton; R1 = H, C1-6 alkyl, (un)substituted Ph where substituents are halo or C1-6 alkyl; R2, R3 = H, C1-6 alkyl; m = 0-2]. The method offers high yields in 1 or 2 steps from relatively simple, com. available starting materials. For instance, a slurry of  $\text{Br}(\text{CH}_2)_3\text{NH}_2\cdot\text{HBr}$  in THF at  $0^\circ$  was treated simultaneously dropwise with  $\text{Et}_3\text{N}$  and a soln. of  $\text{MeSO}_2\text{Cl}$  in THF at  $< 10^\circ$  over 2 h, then warmed to  $23^\circ$  and filtered to give a soln. of  $\text{Br}(\text{CH}_2)_3\text{NHSO}_2\text{Me}$  in THF. This soln. was treated with 1,10-phenanthroline and iso- $\text{Pr}_2\text{NH}$ , cooled to  $-30^\circ$ , and treated with  $n\text{-BuLi}$  over 4 h at  $< -20^\circ$ . Workup and isolation gave cryst. 1,4-butanedisultam (III) in 53% yield on a 1.44 kg scale. Sultam III was coupled with bromide IV in the presence of  $\text{Cu}_2\text{O}$  and 2,2'-bipyridyl in 78% yield, followed by detosylation with  $\text{NaOMe}$  (97%) and amidation (94%) to give the target drug V, isolated as both an  $\text{EtOH}$  solvate and the  $\text{Na}$  salt.

IT 410544-95-5P, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide  
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(target drug; prepn. of sultams from alkanesulfonyl halides and haloalkylamines via intramol. dianion alkylation of N-(haloalkyl)alkanesulfonamides, and use in prepn. of naphthyridinecarboxamide HIV integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

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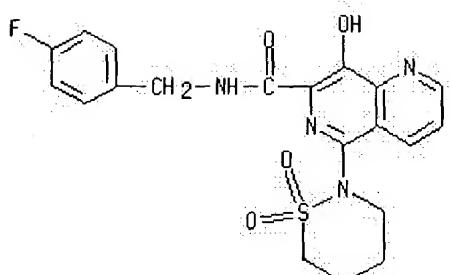
c

g cg

b

cg

eb



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text  Reference

ACCESSION NUMBER: 2003:153659 HCAPLUS  
 DOCUMENT NUMBER: 139:300965  
 TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase  
 AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.  
 CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA  
 SOURCE: Drugs of the Future (2002), 27(11), 1101-1111  
 CODEN: DRFUD4; ISSN: 0377-8282

PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English

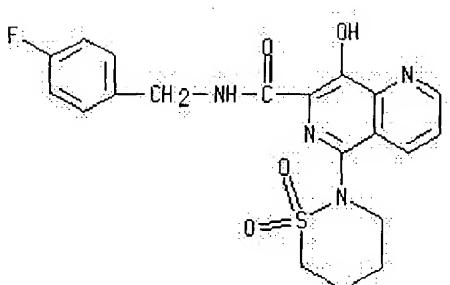
AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV infection. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-infected cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

IT 410544-95-5P, L 870810

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 14 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 2002:585058 HCAPLUS  
 DOCUMENT NUMBER: 138:231267  
 TITLE: Novel Inhibitors of Plasminogen Activator Inhibitor-1: Development of New Templates From Diketopiperazines  
 AUTHOR(S): Wang, Shouming; Golec, Julian; Miller, Warren; Milutinovic, Sandra; Folkes, Adrian; Williams, Susannah; Brooks, Teresa; Hardman, Kevin; Charlton, Peter; Wren, Stephen; Spencer, John  
 CORPORATE SOURCE: Department of Medicinal Chemistry, Xenova Ltd., Slough, Berkshire, SL1 4NL, UK  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(17), 2367-2370  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier Science Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 138:231267

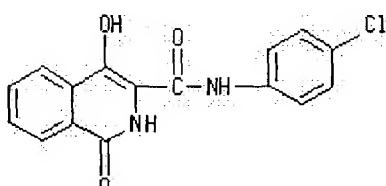
AB Several isoquinoline-based templates were identified from the studies of the conformational effects of the diketopiperazine structures for PAI-1 inhibition. Moderate to good activity was retained with the elimination of unattractive characteristics in the diketopiperazine template.

IT 501942-50-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. and structure-activity relationship of diketopiperazines as novel inhibitors of plasminogen activator inhibitor-1)

RN 501942-50-3 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-(4-chlorophenyl)-1,2-dihydro-4-hydroxy-1-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

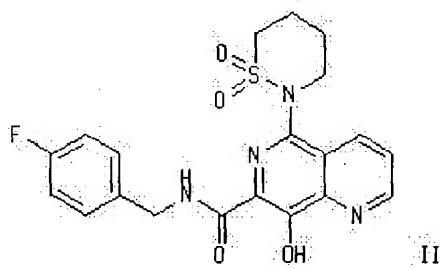
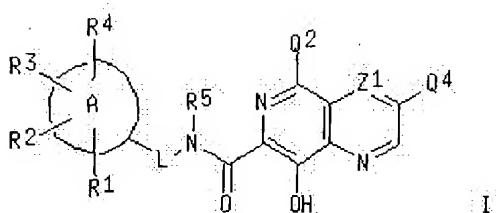
Full Text References

ACCESSION NUMBER: 2002:293653 HCAPLUS  
 DOCUMENT NUMBER: 136:309919  
 TITLE: Preparation of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors  
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle

S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 97 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002030931</u>	A2	20020418	<u>WO 2001-US42564</u>	20011009
<u>WO 2002030931</u>	A3	20021024		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>AU 2002011874</u>	A5	20020422	<u>AU 2002-11874</u>	20011009
<u>EE 200300145</u>	A	20030616	<u>EE 2003-145</u>	20011009
<u>JP 2004511483</u>	T2	20040415	<u>JP 2002-534317</u>	20011009
<u>US 2003055071</u>	A1	20030320	<u>US 2001-973853</u>	20011010
<u>BG 107677</u>	A	20031128	<u>BG 2003-107677</u>	20030326
<u>NO 2003001672</u>	A	20030605	<u>NO 2003-1672</u>	20030411
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2000-239707P</u>	P 20001012
			<u>US 2001-281656P</u>	P 20010405
			<u>WO 2001-US42564</u>	W 20011009

OTHER SOURCE(S): MARPAT 136:309919  
 GI



AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or

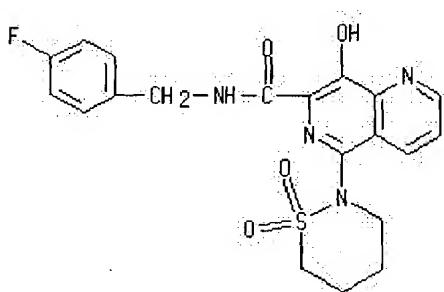
Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prepd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[(4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH<sub>2</sub>Cl<sub>2</sub>, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanesultam (prepn. given; Pyridine, Cu<sub>2</sub>O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to a particle size of 3 - 5 μ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating infection by HIV are also described.

IT **410544-95-5P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors)

RN **410544-95-5 HCPLUS**

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 16 OF 22 HCPLUS COPYRIGHT 2004 ACS on STN

 Full Text     

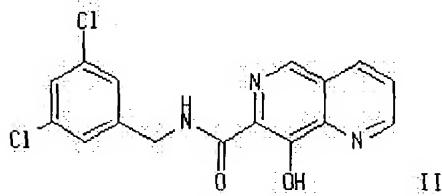
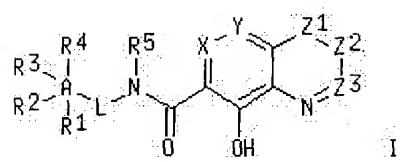
ACCESSION NUMBER: 2002:293652 HCPLUS  
 DOCUMENT NUMBER: 136:325531  
 TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors  
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 434 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002030930</u>	A2	20020418	<u>WO 2001-US31456</u>	20011009
<u>WO 2002030930</u>	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>AU 2002011527</u>	A5	20020422	<u>AU 2002-11527</u>	20011009
<u>EP 1326865</u>	A2	20030716	<u>EP 2001-979582</u>	20011009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
<u>US 2003055071</u>	A1	20030320	<u>US 2001-973853</u>	20011010
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2000-239707P</u>	P 20001012
			<u>US 2001-281656P</u>	P 20010405
			<u>WO 2001-US31456</u>	W 20011009

OTHER SOURCE(S): MARPAT 136:325531

GI



AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prep'd. I are inhibitors of HIV integrase

and inhibitors of HIV replication, and are useful in the prevention or treatment of infection by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV infection of T-lymphoid cells and demonstrated IC95 values of < 20  $\mu$ M.

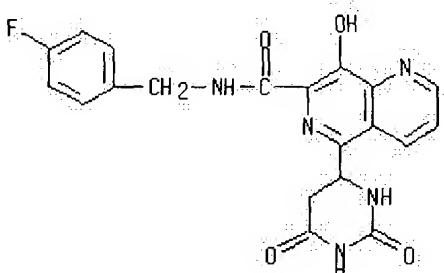
IT **410544-69-3P**, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydropyrimidin-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN **410544-69-3** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



L12 ANSWER 17 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full  
Text

ACCESSION NUMBER: 2002:51455 HCAPLUS  
 DOCUMENT NUMBER: 136:118392  
 TITLE: Heterocycle carboxamides as antiviral agents  
 INVENTOR(S): Schnute, Mark E.; Vaillancourt, Valerie A.; Larsen, Scott D.  
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002004443</u>	A2	20020117	<u>WO 2001-US16492</u>	20010625
<u>WO 2002004443</u>	A3	20030912		

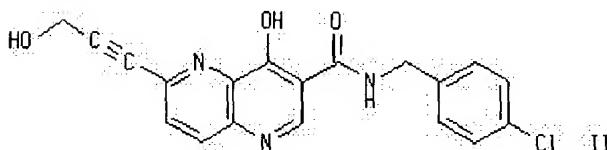
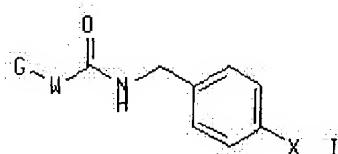
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UZ, VN, YU, ZA, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,  
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 IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,  
 GW, ML, MR, NE, SN, TD, TG

<u>US 2002019397</u>	A1	20020214	<u>US 2001-887620</u>	20010622
<u>US 6730682</u>	B2	20040504		
<u>AU 2001069698</u>	A5	20020121	<u>AU 2001-69698</u>	20010625
<u>EP 1363907</u>	A2	20031126	<u>EP 2001-948225</u>	20010625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
<u>JP 2004502769</u>	T2	20040129	<u>JP 2002-509308</u>	20010625
<u>US 2004180910</u>	A1	20040916	<u>US 2004-812229</u>	20040329
<u>PRIORITY APPLN. INFO.:</u>				
<u>US 2000-217556P</u> P 20000712				
<u>US 2001-887620</u> A3 20010622				
<u>WO 2001-US16492</u> W 20010625				

OTHER SOURCE(S): MARPAT 136:118392

GI



AB The title compds. [I; X = Cl, Br, F, CN, NO<sub>2</sub>; G = alkyl partially unsatd. and substituted by OH, or alkyl substituted by NR<sub>1</sub>R<sub>2</sub> or 4-tetrahydropyran; R<sub>1</sub> = substituted alkyl; R<sub>2</sub> = H, alkyl; or NR<sub>1</sub>R<sub>2</sub> = (un)substituted morpholino; W = naphthyridine, pyrano[2,3-c]pyridine, isochromene, etc.], useful as antiviral agents, in particular, as agents against viruses of the herpes family, were claimed. General procedures for prepn. of compds. I such as the naphthyridinecarboxamide II were given (no data for intermediates and final compds.).

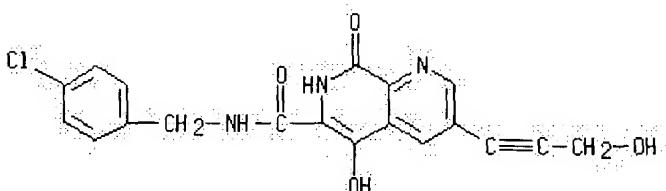
IT 389796-61-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heterocycle carboxamides as antiviral agents)

RN 389796-61-6 HCPLUS

CN 1,7-Naphthyridine-6-carboxamide, N-[(4-chlorophenyl)methyl]-7,8-dihydro-5-hydroxy-3-(3-hydroxy-1-propynyl)-8-oxo- (9CI) (CA INDEX NAME)



h eb c g cg b cg

eb

L12 ANSWER 18 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full   Text  References

ACCESSION NUMBER: 2001:152650 HCAPLUS  
 DOCUMENT NUMBER: 134:207831  
 TITLE: Preparation, composition and use of heterocyclic aromatic amides as fungicides  
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Henry, Matthew James; Adamski, Butz Jenifer Lynn; Gajewski, Robert Peter  
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA  
 SOURCE: PCT Int. Appl., 200 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014339	A2	20010301	WO 2000-US21523	20000804
WO 2001014339	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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US 6521622	B1	20030218	US 2000-620662	20000720
AU 2000065267	A5	20010319	AU 2000-65267	20000804
US 6355660	B1	20020312	US 2000-632930	20000804
EP 1204643	A2	20020515	EP 2000-952599	20000804
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EP 1234823	A2	20020828	EP 2002-9583	20000804
EP 1234823	A3	20030618		
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EP 1234824	A1	20020828	EP 2002-9584	20000804
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EP 1234825	A2	20020828	EP 2002-9585	20000804
EP 1234825	A3	20030618		
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EP 1234826	A2	20020828	EP 2002-9586	20000804
EP 1234826	A3	20030618		
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EP 1234827	A2	20020828	EP 2002-9590	20000804
EP 1234827	A3	20030618		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				

<u>TR 200200409</u>	T2	20030321	<u>TR 2002-200200409</u>	20000804
<u>BR 2000013469</u>	A	20030429	<u>BR 2000-13469</u>	20000804
<u>JP 2003527324</u>	T2	20030916	<u>JP 2001-518428</u>	20000804
<u>US 2002177578</u>	A1	20021128	<u>US 2001-22413</u>	20011213
<u>US 2003018052</u>	A1	20030123	<u>US 2001-22207</u>	20011213
<u>US 2003018012</u>	A1	20030123	<u>US 2001-22511</u>	20011213
<u>US 6706740</u>	B2	20040316		
<u>US 2003022902</u>	A1	20030130	<u>US 2001-22483</u>	20011213
<u>US 2003022903</u>	A1	20030130	<u>US 2001-23497</u>	20011213
<u>ZA 2002000435</u>	A	20030117	<u>ZA 2002-435</u>	20020117
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			<u>US 1999-149977P</u>	P 19990820
			<u>US 1999-150248P</u>	P 19990823
			<u>US 2000-620662</u>	A 20000720
			<u>US 1999-144676P</u>	P 19990720
			<u>EP 2000-952599</u>	A3 20000804
			<u>US 2000-632930</u>	A3 20000804
			<u>WO 2000-US21523</u>	W 20000804

OTHER SOURCE (S): MARPAT 134:207831  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

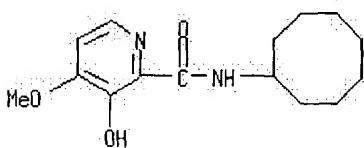
AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2, C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prep'd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prep'd. and tested as fungicide.

IT 267415-93-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



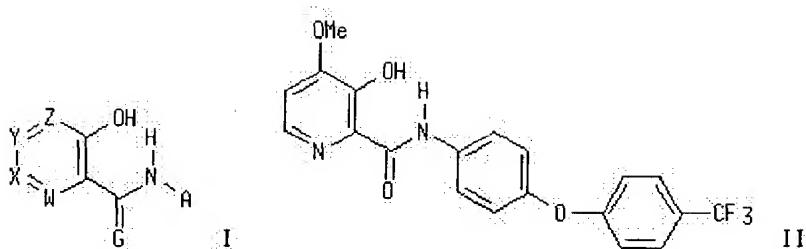
L12 ANSWER 19 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

 Full  Abstract  
 Text  References

ACCESSION NUMBER: 2001:63978 HCAPLUS  
 DOCUMENT NUMBER: 134:131431  
 TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation  
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter  
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA  
 SOURCE: PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2001005769</u>	A2	20010125	<u>WO 2000-US19794</u>	20000720
<u>WO 2001005769</u>	A3	20011122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1196388</u>	A2	20020417	<u>EP 2000-950470</u>	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
<u>JP 2003528806</u>	T2	20030930	<u>JP 2001-511430</u>	20000720
<u>BR 2000012615</u>	A	20040330	<u>BR 2000-12615</u>	20000720
<u>US 6355660</u>	B1	20020312	<u>US 2000-632930</u>	20000804
<u>US 2002177578</u>	A1	20021128	<u>US 2001-22413</u>	20011213
<u>US 2003018052</u>	A1	20030123	<u>US 2001-22207</u>	20011213
<u>US 2003018012</u>	A1	20030123	<u>US 2001-22511</u>	20011213
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<u>ZA 2002000436</u>	A	20040302	<u>ZA 2002-436</u>	20020117
<u>US 2004034025</u>	A1	20040219	<u>US 2002-307844</u>	20021202
<u>US 2004048864</u>	A1	20040311	<u>US 2002-307710</u>	20021202
<u>US 1999-144676P</u>			<u>US 1999-144676P</u>	P 19990720
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<u>US 2000-620662</u>			<u>US 2000-620662</u>	A3 20000720
<u>WO 2000-US19794</u>			<u>WO 2000-US19794</u>	W 20000720
<u>US 2000-632930</u>			<u>US 2000-632930</u>	A3 20000804
<u>PRIORITY APPLN. INFO.:</u>				

OTHER SOURCE(S): MARPAT 134:131431  
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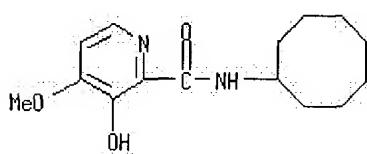
AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxy, CHF2, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR3 where R3 = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., *Plasmopara viticola* (Downy Mildew of Grape), *Phytophthora infestans* (Late Blight of Tomato), and *Venturia inaequalis* (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 267415-93-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

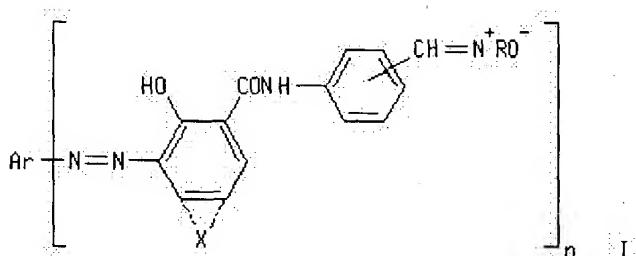


L12 ANSWER 20 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full  ~~1996~~  
 Text  References

ACCESSION NUMBER: 1996:128500 HCAPLUS  
 DOCUMENT NUMBER: 124:246406  
 TITLE: Electrophotographic photoreceptor containing disazo nitroso pigment as charge-generating agent  
 INVENTOR(S): Hanatani, Yasuyuki; Kimoto, Keizo; Iwasaki, Hiroaki; Sakai, Hirosuke; Tanaka, Tomoki; Sugase, Ayako  
 PATENT ASSIGNEE(S): Mita Industrial Co Ltd, Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07325418	A2	19951212	JP 1994-118728	19940531
<u>PRIORITY APPLN. INFO.:</u>			JP 1994-118728	19940531
GI				



AB The photoreceptor contains a disazo nitroso pigment I (Ar = 2-4-valent arom. linking group; R = H, alkyl, aryl; X = org. residue to form arom. carbocycle or heterocycle with benzene ring; n = 2-4) as a charge-generating agent. The photoreceptor shows high sensitivity and repeating durability.

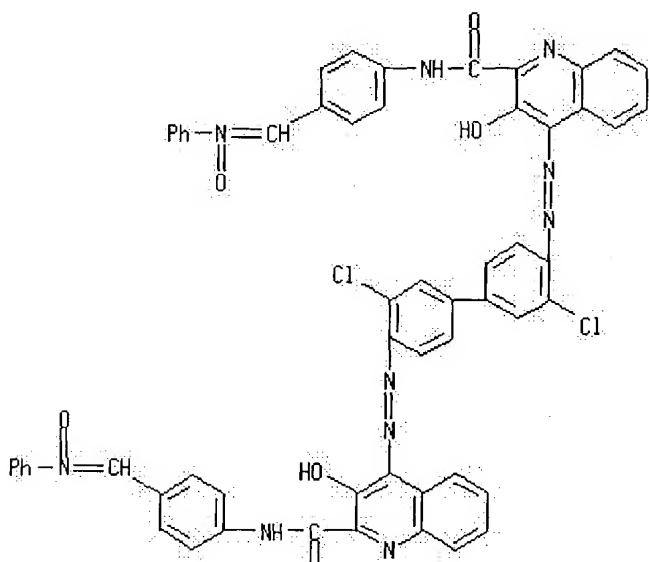
IT 174778-97-3

RL: DEV (Device component use); USES (Uses)  
(charge-generating agent; electrophotog. photoreceptor contg. disazo nitroso pigment as charge-generating agent)

RN 174778-97-3 HCAPLUS

CN 2-Quinolinecarboxamide, 4,4'-(3,3'-dichloro[1,1'-biphenyl]-4,4'-diyl)bis(azo)bis[3-hydroxy-N-[4-[(oxidophenylimino)methyl]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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eb

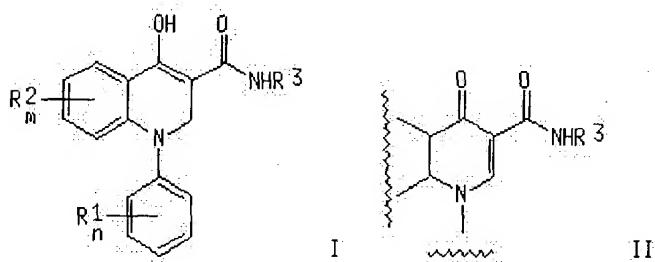
L12 ANSWER 21 OF 22 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 1989:135097 HCPLUS  
DOCUMENT NUMBER: 110:135097  
TITLE: Preparation of 1-aryl-3-quinolinecarboxamide as  
analgesics and antiinflammatory agents  
INVENTOR(S): Glamkowski, Edward J.; Hamer, R. Richard L.  
PATENT ASSIGNEE(S): Hoechst-Roussel Pharmaceuticals, Inc., USA  
SOURCE: U.S., 14 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>US 4786644</u>	A	19881122	<u>US 1987-125971</u>	19871127
<u>US 4966906</u>	A	19901030	<u>US 1988-218783</u>	19880714
<u>EP 317991</u>	A2	19890531	<u>EP 1988-119541</u>	19881124
<u>EP 317991</u>	A3	19901107		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
<u>DK 8806586</u>	A	19890528	<u>DK 1988-6586</u>	19881125
<u>JP 02138260</u>	A2	19900528	<u>JP 1988-296374</u>	19881125
<u>US 4952588</u>	A	19900828	<u>US 1989-401386</u>	19890831
<u>PRIORITY APPLN. INFO.:</u>			<u>US 1987-125971</u>	19871127
			<u>US 1988-218783</u>	19880714

OTHER SOURCE(S): CASREACT 110:135097; MARPAT 110:135097  
GI



AB The title compds. [I; R1,R2 = halo, alkyl, alkoxy; R3 = (substituted) Ph, pyridyl, pyrimidyl, pyrazinyl, triazinyl, thiazolyl, thiadiazolyl, isoxazolyl oxadiazolyl, quinolyl, benzothiazolyl; m,n = 0, 1] oxo derivs. II, and isoquinoline analogs, useful as inflammation inhibitors and analgesics, were prep'd. 2,3-Dihydro-1-phenyl-4(1H)-quinolone was stirred 1 h with NaH in C6H6. (Eto)2CO was added and the mixt. was refluxed 5 h. The product and 2-aminopyridine in PhMe were refluxed 16 h through a soxhlet extractor contg. 4 l mol. sieves to give 1,2-dihydro-4-hydroxy-1-phenyl-N-(2-pyridyl)-3-quinolinecarboxamide. I inhibited carrageenan-induced rat paw edema by 23-29% at 100 mg/kg orally.

IT 119686-91-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of, as analgesic and antiinflammatory)

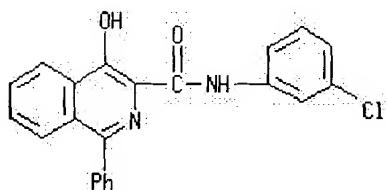
RN 119686-91-8 HCAPLUS

CN 3-Isoquinolinecarboxamide, N-(3-chlorophenyl)-4-hydroxy-1-phenyl- (9CI)

For more information, contact the Office of the Vice President for Research and the Office of the Vice President for Student Affairs.

eb

(CA INDEX NAME)



L12 ANSWER 22 OF 22 HCPLUS COPYRIGHT 2004 ACS on STN

 Full Text
  Citations
  References

ACCESSION NUMBER:

1985:615133 HCPLUS

DOCUMENT NUMBER:

103:215133

TITLE:

4-Hydroxy-1(2H)-isoquinolone-3-carboxamides.

Synthesis and properties

AUTHOR(S):

Schapira, Celia B.; Abasolo, Maria I.; Perillo, Isabel A.

CORPORATE SOURCE:

Fac. Farm. Bioquim., Univ. Nac. Buenos Aires, Buenos Aires, Argent.

SOURCE:

Journal of Heterocyclic Chemistry (1985), 22(2), 577-81

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE:

Journal

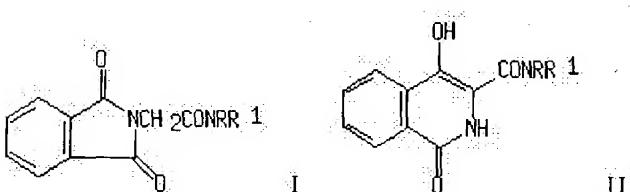
LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 103:215133

GI



AB Reaction of some  $\alpha$ -phthalimidoacetamides I ( $R = H$ , alkyl, Ph, 2-pyridyl;  $R_1 = H$ , Et, Ph) with NaOEt was carried out under drastic conditions. I ( $R = Me$ ,  $Me_2CH_2$  cyclohexyl,  $R_1 = H$ ;  $R = Me$ , Et,  $R_1 = Ph$ ;  $R = R_1 = Et$ ) afforded isoquinolone-3-carboxamides II, while I ( $R = Ph$ , 2-pyridyl;  $R_1 = H$ ) afforded 2-(HO<sub>2</sub>C)C<sub>6</sub>H<sub>4</sub>CONHCH<sub>2</sub> CONHR together with the expected isoquinolones II. I ( $R = R_1 = H$ ) gave phthalimide as the major product. Compds. II are acidic and unstable in basic media. The most acidic compds. had the longest half-life.

IT 99275-63-5PRL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)RN 99275-63-5 HCPLUSCN 3-Isoquinolinecarboxamide, N-cyclohexyl-1,2-dihydro-4-hydroxy-1-oxo- (9CI)  
(CA INDEX NAME)

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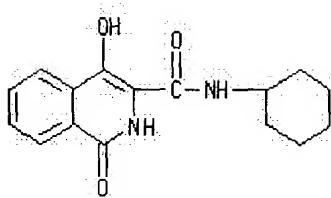
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cg

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L3	STRUCTURE UPLOADED
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L5	666 S L3 FULL
L6	STRUCTURE UPLOADED
L7	50 S L6
L8	1060 S L6 FULL
L9	394 S L8 NOT L5

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L10	23 S L9
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L12	22 S L10 NOT L11
L13	0 S L12 AND MITOMO, K?/AU
L14	0 S L12 AND YAMADA, N?/AU
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L18	0 S L12 AND KURIHARA, H?/AU
L19	0 S L12 AND TANIGUCHI, M?/AU

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CA SUBSCRIBER PRICE	-16.10	-16.10

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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FILE 'REGISTRY' ENTERED AT 00:39:44 ON 08 NOV 2004

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L2	STRUCTURE UPLOADED
L3	STRUCTURE UPLOADED
L4	36 S L3
L5	666 S L3 FULL
L6	STRUCTURE UPLOADED
L7	50 S L6
L8	1060 S L6 FULL
L9	394 S L8 NOT L5

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

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=> s 19

L20 0 L9

=> file hcaplus

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-16.10

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20  
 FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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 L5 666 S L3 FULL  
 L6 STRUCTURE uploaded  
 L7 50 S L6  
 L8 1060 S L6 FULL  
 L9 394 S L8 NOT L5

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 L12 22 S L10 NOT L11  
 L13 0 S L12 AND MITOMO, K?/AU  
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 L15 0 S L12 AND YAMAMOTO, K?/AU  
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=> s l21 and plant

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 403054 PLANTS  
 896353 PLANT  
 (PLANT OR PLANTS)  
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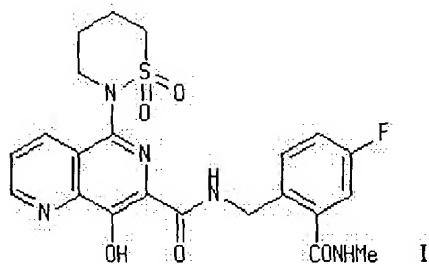
L24 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text References

ACCESSION NUMBER: 2004:780495 HCPLUS  
DOCUMENT NUMBER: 141:296002  
TITLE: Preparation of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as an HIV integrase inhibitor  
INVENTOR(S): Palucki, Michael; Askin, David; Angelico, Vincent J.; Wenslow, Robert M., Jr.  
PATENT ASSIGNEE(S): Merck & Co. Inc., USA  
SOURCE: PCT Int. Appl., 49 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2004080402</u>	A2	20040923	<u>WO 2004-US6968</u>	20040308
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-453896P P 20030312  
GI



AB A potassium salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide (I) was prep'd. The compd. I potassium salt is an HIV integrase inhibitor useful for preventing or treating HIV **infection**, for delaying the onset of AIDS, and for treating AIDS. Thus, a 50-L flask equipped with a mech. stirrer, temp. probe, and nitrogen inlet was charged with dry DMF (16.3 L), 5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (1.735 kg, 6.0 wt.% water), anhyd. HOBT (341 g), N-methyl-2-amino-5-fluorobenzenecarboxamide hydrochloride (1.32 kg), and

h                    eb c            g cg b            cg

eb

NMM (456 g, 500 mL). The suspension was agitated at 20° until a homogeneous soln. was obtained and then cooled to 0-5°, treated with EDC (1.45 kg), and aged until complete conversion of the carboxylic acid was reached as detd. by HPLC (<0.5% the carboxylic acid, ~16 h) to give, after workup and drying, I (2.16 kg, 88% isolated yield, purity: >99.0 A% by HPLC assay). A 100 L cylinder equipped with a mech. stirrer, temp. probe, addn. funnel, and nitrogen inlet was charged with 4.2 kg I and EtOH (84 L) and then heated to 60°. To the resulting yellow suspension was added 866 mL 45 wt.% aq. KOH and the resulting yellow soln. was filtered through a 10 µm line filter into an adjacent 100 L flask. The soln. was seeded and heated at 60° for 3 h and then allowed to cool to room temp. overnight. The resulting slurry was cooled to 3-4°, filtered, and washed with 4 X 2 L of cold EtOH. The filter pot was placed under vacuum with a N stream to obtain I potassium salt as a cryst. ethanolate salt (purity >99.6 A% by HPLC assay, 6.8 wt.% ethanol by GC, and 0.5 wt.% water by Karl Fisher titrn.).

IT 761452-50-0P

RL: IMF (Industrial manufacture); PRP (Properties); **THU (Therapeutic use); THU (Therapeutic use);** BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray diffraction anal.; prepn. of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-[4-fluoro-2-[(methylamino)carbonyl]benzyl]-8-hydroxy-1,6-naphthyridine-7-carboxamide potassium salt as HIV integrase inhibitor)

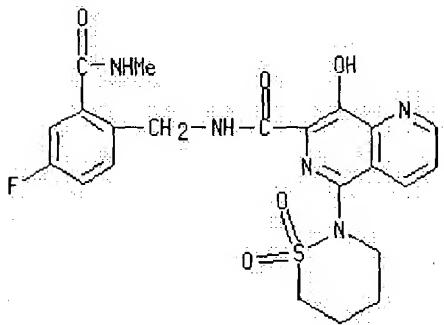
RN 761452-50-0 HCPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 606080-42-6

CMF C22 H22 F N5 O5 S



CM 2

CRN 64-17-5

CMF C2 H6 O

H<sub>3</sub>C-CH<sub>2</sub>-OH

L24 ANSWER 2 OF 10 HCPLUS COPYRIGHT 2004 ACS on STN

Full Text

SEARCH

ACCESSION NUMBER:

2004:587781 HCPLUS

DOCUMENT NUMBER:

141:253713

TITLE:

Integrase Inhibitors and Cellular Immunity Suppress Retroviral Replication in Rhesus Macaques

h eb c g cg b cg

eb

AUTHOR(S): Hazuda, Daria J.; Young, Steven D.; Guare, James P.; Anthony, Neville J.; Gomez, Robert P.; Wai, John S.; Vacca, Joseph P.; Handt, Larry; Motzel, Sherri L.; Klein, Hilton J.; Dornadula, Geethanjali; Danovich, Robert M.; Witmer, Marc V.; Wilson, Keith A. A.; Tussey, Lynda; Schleif, William A.; Gabryelski, Lori S.; Jin, Lixia; Miller, Michael D.; Casimiro, Danilo R.; Emini, Emilio A.; Shiver, John W.

CORPORATE SOURCE: Dep. Biological Chem., Merck Res. Laboratories, West Poing, PA, 19486, USA

SOURCE: Science (Washington, DC, United States) (2004), 305(5683), 528-532

CODEN: SCIEAS; ISSN: 0036-8075

PUBLISHER: American Association for the Advancement of Science

DOCUMENT TYPE: Journal

LANGUAGE: English

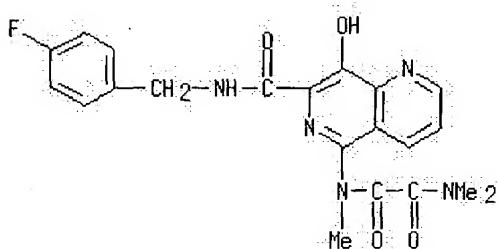
AB The authors describe the efficacy of L-870812, an inhibitor of HIV-1 and SIV integrase, in rhesus macaques **infected** with the simian-human immunodeficiency virus (SHIV) 89.6P. When initiated before CD4 cell depletion, L-870812 therapy mediated a sustained suppression of viremia, preserving CD4 levels and permitting the induction of virus-specific cellular immunity. L-870812 was also active in chronic **infection**; however, the magnitude and durability of the effect varied in conjunction with the pretreatment immune response and viral load. These studies demonstrate integrase inhibitor activity *in vivo* and suggest that cellular immunity facilitates chemotherapeutic efficacy in retroviral **infections**.

IT 410545-90-3, L 870812

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(integrase inhibitors and cellular immunity suppress retroviral replication in rhesus macaques)

RN 410545-90-3 HCPLUS

CN Ethanediamide, [7-[[[(4-fluorophenyl)methyl]amino]carbonyl]-8-hydroxy-1,6-naphthyridin-5-yl]trimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 3 OF 10 HCPLUS COPYRIGHT 2004 ACS on STN

Full     
 Text

ACCESSION NUMBER: 2003:836794 HCPLUS  
DOCUMENT NUMBER: 139:341742  
TITLE: Pharmaceutical compositions containing an HIV integrase inhibitor and a nonionic surfactant  
INVENTOR(S): Robertson, Sandra; Cruanes, Maria T.; Karaborni, Sami; Ostovic, Drazen; Fu, Xi-yong; Kamali, Ashkan; Panmai, Santipharp; Plank, Russell V.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086319	A2	20031023	WO 2003-US7517	20030313
WO 2003086319	A3	20040805		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-371296P P 20020410

OTHER SOURCE(S): MARPAT 139:341742

AB Pharmaceutical compns. comprise a therapeutically effective amt. of an 8-hydroxy-1,6-naphthyridine-7-carboxamide compd. or a pharmaceutically acceptable salt thereof and a nonionic surfactant. Compds. of this invention are HIV integrase inhibitors, and the pharmaceutical compns. are useful for preventing or treating HIV **infection** or for preventing, treating, or delaying the onset of AIDS. The pharmaceutical compns. are typically administered orally, for example, in the form of capsules or tablets, and can provide good oral bioavailability. Methods for prepg. encapsulated and tableted forms of the pharmaceutical compns. are described.

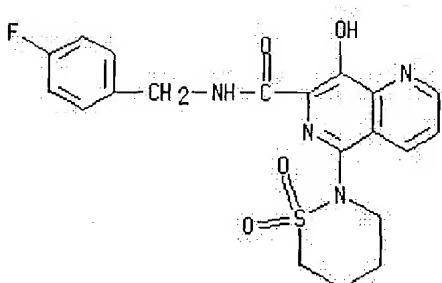
IT 410544-95-5P

RL: PKT (Pharmacokinetics); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(oral compns. contg. HIV integrase inhibitor and nonionic surfactant)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text

ACCESSION NUMBER:

2003:757475 HCAPLUS

DOCUMENT NUMBER:

139:276879

TITLE:

Preparation of N-(substituted benzyl)-8-hydroxy-1,6-

naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection/AIDS**

**INVENTOR(S):** Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark; Young, Steven D.

**PATENT ASSIGNEE(S):** Merck & Co., Inc., USA

**SOURCE:** PCT Int. Appl., 217 pp.

**CODEN:** PIXXD2

**DOCUMENT TYPE:** Patent

**LANGUAGE:** English

**FAMILY ACC. NUM. COUNT:** 2

**PATENT INFORMATION:**

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003077857</u>	A2	20030925	<u>WO 2003-US7671</u>	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<b>PRIORITY APPLN. INFO.:</b>			<u>US 2002-364929P</u>	P 20020315
<b>OTHER SOURCE(S):</b>		MARPAT 139:276879		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

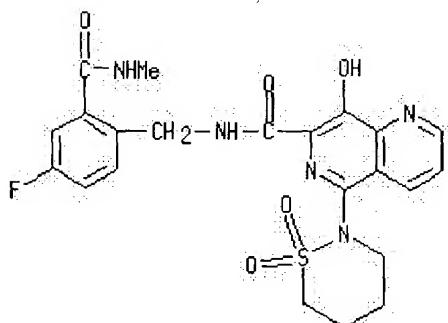
AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutically acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl<sub>3</sub>, O-tosylation in CHCl<sub>3</sub>, condensation of the bromide with 1,4-butanedisulfide in DMF in the presence of Cu2O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC<sub>50</sub> < 0.5 μM. The same compds. inhibited HIV replication in T-lymphoid cells with IC<sub>95</sub> < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or

vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); **THU (Therapeutic use)**; **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN **606080-42-6 HCPLUS**

CN 1,6-Naphthyridine-7-carboxamide, N-[[4-fluoro-2-[(methylamino)carbonyl]phenyl]methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 5 OF 10 HCPLUS COPYRIGHT 2004 ACS on STN

 Full Text
  Current Status
  Drawings

ACCESSION NUMBER:

2003:757471 HCPLUS

DOCUMENT NUMBER:

139:276878

TITLE:

Preparation of N-(substituted benzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamides useful as HIV integrase inhibitors for treatment of HIV **infection/AIDS**

INVENTOR(S):

Egbertson, Melissa; Langford, H. Marie; Melamed, Jeffrey Y.; Wai, John S.; Han, Wei; Perlow, Debbie S.; Zhuang, Linghang; Embrey, Mark

PATENT ASSIGNEE(S):

Merck &amp; Co., Inc., USA

SOURCE:

PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<b>WO 2003077850</b>	A2	20030925	<b>WO 2003-US7448</b>	20030312
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: **US 2002-364929P** P 20020315

OTHER SOURCE(S): MARPAT 139:276878

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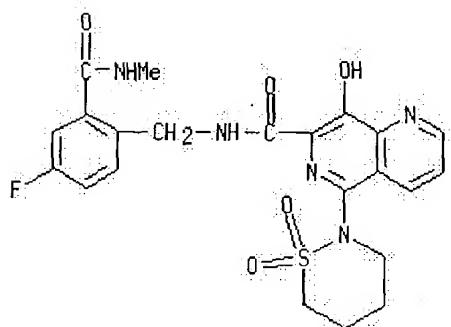
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein R1 = H or F; R2 = carbamoylalkyl, carbamoyl, triazolyl or tetrazolyl, acylamino and derivs., 2-oxopyrrolidin-1-yl and analogs, (cyclo)alkoxycarbonyl, COY; Y = azetidinyl, pyrrolidinyl, piperidinyl, morpholino; R3 = H, carbamoyl and derivs., acylamino, carbamoyl(alkyl/methylthioxy/methyloxy/amino/alkylamino/alkenyl), (un)substituted 5- to 7-membered satd. heterocyclic ring contg. 1 to 4 heteroatoms (N, O or S), (un)substituted 7- to 9-bridged azabicycloalkyl satd. ring; or their pharmaceutical acceptable salts] were prepd. as HIV-integrase inhibitors for preventing and treating **infection** by HIV and for preventing, treating or delaying the onset of AIDS. For example, II•Na was prepd. via TEA-acylation of III•HCl (prepn. given) with 5-(1,1-dioxo-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (IV) in DMF at room temp. overnight, followed by sodium salt formation by reaction with NaOH at room temp. for 30 min. IV was prepd. from 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester in 5 steps by NBS-bromination in CHCl<sub>3</sub>, O-tosylation in CHCl<sub>3</sub>, condensation of the bromide with 1,4-butanestultam in DMF in the presence of Cu<sub>2</sub>O/2,2'-bipyridyl at 120° for 4 h, deprotection of tosyl group, and base-catalyzed hydrolysis in MeOH overnight at 60°. Selected invention compds. inhibited the strand transfer activity of HIV integrase with IC<sub>50</sub> < 0.5 μM. The same compds. inhibited the replication of HIV in T-lymphoid cells with IC<sub>95</sub> < 5 μM. The compds. and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT **606080-42-6P**, N-[4-Fluoro-2-[(methylamino)carbonyl]benzyl]-5-(1,1-dioxido-1,2-thiazinan-2-yl)-8-hydroxy-1,6-naphthyridine-7-carboxamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (HIV integrase inhibitor; prepn. of naphthyridinecarboxamides as HIV integrase inhibitors via acylation)

RN **606080-42-6** HCPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluoro-2-[(methylamino)carbonyl]phenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)

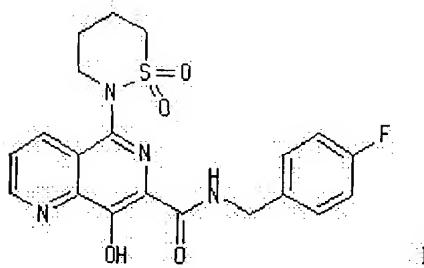


Full Text Reference

ACCESSION NUMBER: 2003:154434 HCAPLUS  
 DOCUMENT NUMBER: 138:205068  
 TITLE: Process for the preparation of a Na salt of a  
 5-(dioxidothiazinanyl)naphthyridine-7-carboxamide HIV  
 integrase inhibitor  
 INVENTOR(S): Anthony, Neville J.; Xu, Wei; Lepore, John V.;  
 Mahajan, Amar J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 40 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016315</u>	A1	20030227	<u>WO 2002-US25675</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1430058</u>	A1	20040623	<u>EP 2002-794880</u>	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
<u>US 2003119823</u>	A1	20030626	<u>US 2002-218537</u>	20020814
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2001-313373P</u>	P 20010817
			<u>WO 2002-US25675</u>	W 20020813

GI



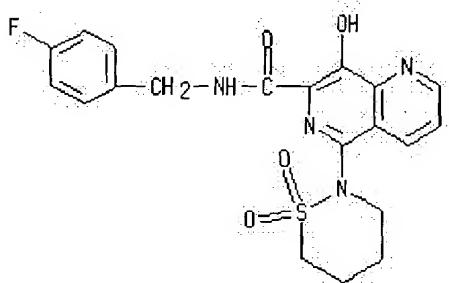
AB The cryst. sodium salt I•Na was prep'd. as an HIV integrase inhibitor for preventing or treating HIV **infection**, for delaying the onset of AIDS, and for treating AIDS (no data). I•Na exhibited superior oral bioavailability and improved pharmacokinetics (e.g., improved Cmax and AUC) in rats and dogs relative to amorphous and cryst. I (no data). For example, 5-bromo-8-(p-toluenesulfonyloxy)-1,6-naphthyridine-7-carboxylic acid Me ester was coupled with 1,4-butane sultam (prepn. of starting

materials given) in the presence of Cu<sub>2</sub>O and 2,2'-bipyridyl in DMF (78%). Deprotection of the alc. with NaOMe in MeOH (97%), followed by amidation with 4-fluorobenzylamine in EtOH gave I•EtOH (94%). The cryst. Na salt of 5-(1,1-dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide (I•Na) was formed by treating the monoethonalate with 5M NaOH in a mixt. of EtOH and H<sub>2</sub>O. I•Na was analyzed by differential scanning calorimetry at a heating rate of 10°C/min in an open cup under flowing nitrogen and was found to have a DSC curve exhibiting an endotherm with a peak temp. of about 348° and an assocd. heat of fusion of about 45 J/gm followed by an exotherm with a peak temp. of about 352° and an assocd. heat of fusion of about 45 J/gm. The X-ray powder diffraction pattern of the Na salt was also generated.

IT **410545-86-7P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide sodium salt  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (HIV integrase inhibitor; prepn. of the Na of a (dioxidothiazinanyl)naphthyridinecarboxamide HIV integrase inhibitor for treatment of AIDS)

RN **410545-86-7** HCPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)-, monosodium salt (9CI) (CA INDEX NAME)



# Na

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 7 OF 10 HCPLUS COPYRIGHT 2004 ACS on STN

Full  
Text

ACCESSION NUMBER:

2003:154429 HCPLUS

DOCUMENT NUMBER:

138:205040

TITLE:

Process for preparing 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides, useful as HIV integrase inhibitors, by condensation of sulfonamides with 5-halo-8-(protected-hydroxy)naphthyridines in the presence of copper promoters and copper-chelating agents

INVENTOR(S):

Maligres, Peter E.; Askin, David

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 111 pp.

CODEN: PIXXD2

h

eb c g cg b cg

eb

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2003016309</u>	A1	20030227	<u>WO 2002-US27151</u>	20020813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1427726</u>	A1	20040616	<u>EP 2002-763531</u>	20020813
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2001-313376P</u>	P 20010817
			<u>WO 2002-US27151</u>	W 20020813

OTHER SOURCE(S): CASREACT 138:205040; MARPAT 138:205040  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB An improved prepn. of 5-sulfonamido-8-hydroxy-1,6-naphthyridine-7-carboxamides I is disclosed [wherein: A = Ph nucleus or carbocycle-fused Ph nucleus; L = bond, C1-6 alkylene, C2-6 alkenylene, (C0-6 alkylene)-(C3-6 cycloalkylene)-(C0-6 alkylene); Z1 = H, (un)substituted alkyl, OH, halo, NO<sub>2</sub>, cyano, CO<sub>2</sub>H or certain derivs., etc.; n = 0-5; Z2 = H, aryl, aryloxy, aralkyl, aralkoxy, heteroaryl, heteroaryloxy, etc.; m = 0-2; R1, R2, R3 = H, (un)substituted alkyl or alkoxy, OH, halo, NO<sub>2</sub>, cyano, (hetero)aryl(oxy), etc.; R4 = H, (un)substituted alkyl or aryl; R5 = (un)substituted alkyl or aryl; or R4R5 = atoms to form certain sultams; R6 = H, (un)substituted alkyl]. Compds. I are known inhibitors of HIV integrase, and are useful for treating HIV **infection**, preventing HIV **infection**, delaying the onset of AIDS, and treating AIDS. Unspecified representative compds. I had IC<sub>50</sub> values of < 100 μM in an integrase inhibition assay, and inhibited acute HIV **infection** of T-lymphoid cells with IC<sub>95</sub> < 20 μM in another assay (no addnl. data). In the key step, a 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid or acid ester, in which the hydroxy is derivatized with a protecting group, is reacted with a sulfonamide (e.g., an alkanesulfonamide, an N-alkyl alkanesulfonamide, or an alkanesultam) in the presence of a copper promoter and a chelating agent, followed by deprotection of the hydroxy group, and then amidation with an amine to obtain I. Alternatively, the hydroxy-protected 5-halo-8-hydroxy-1,6-naphthyridine-7-carboxylic acid (or ester) is first coupled with an amine, and the resulting carboxamide then reacts with a sulfonamide, followed by deprotection of the hydroxy group, to obtain I. For instance, 8-hydroxy-1,6-naphthyridine-7-carboxylic acid Me ester underwent NBS bromination in the 5-position (93%), followed by O-tosylation of the 8-OH group with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl and Et<sub>3</sub>N (97%). Coupling of the resultant 5-bromo-8-(p-toluenesulfonyloxy)-1,6-

naphthyridine-7-carboxylic acid Me ester (II) with 1,4-butanesultam in the presence of Cu<sub>2</sub>O and 2,2-bipyridyl in degassed DMF at 120° gave product III in 78-83% yield, depending upon workup. Detosylation of III with NaOMe and MeOH in DMF (97%) and amidation of the ester with 4-fluorobenzylamine in EtOH at 75-77° (94%) gave the synthetic target IV as the mono-EtOH solvate. In two comparison runs using Cu<sub>2</sub>O/pyridine, in which the 8-hydroxy group was not protected as the tosylate ester, coupling yields of only 19% and 42% were obtained.

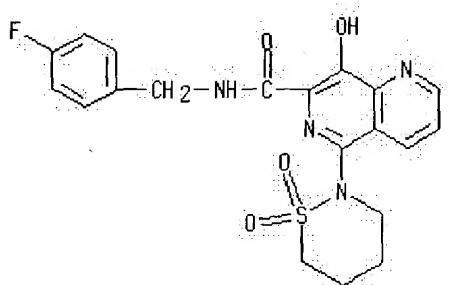
IT **410544-95-5P**, 5-(1,1-Dioxido-1,2-thiazinan-2-yl)-N-(4-fluorobenzyl)-8-hydroxy-1,6-naphthyridine-7-carboxamide

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(product; prepn. of sulfonamidohydroxynaphthyridinecarboxamides via coupling of halo(protected-hydroxy)naphthyridines with sulfonamides and sultams using Cu promoters and chelating agents)

RN **410544-95-5** HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full Text

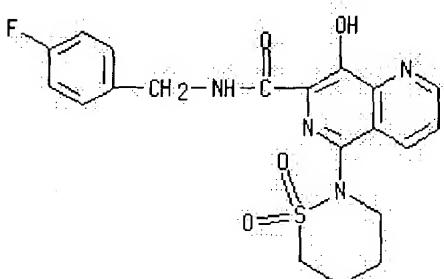
ACCESSION NUMBER: 2003:153659 HCAPLUS  
 DOCUMENT NUMBER: 139:300965  
 TITLE: Novel aryl diketo-containing inhibitors of HIV-1 integrase  
 AUTHOR(S): Pais, Godwin C. G.; Burke, Terrence R., Jr.  
 CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Center for Cancer Research, National Cancer Institute, National Institutes of Health, Frederick, MD, 21702-1201, USA  
 SOURCE: Drugs of the Future (2002), 27(11), 1101-1111  
 CODEN: DRFUD4; ISSN: 0377-8282  
 PUBLISHER: Prous Science  
 DOCUMENT TYPE: Journal; General Review  
 LANGUAGE: English  
 AB A review. HIV-1 integrase is a promising therapeutic target for the development of drugs to treat HIV infection. Aryl diketo-based analogs, disclosed independently by scientists from Merck and Shionogi pharmaceutical companies, are a unique class of compds. that exhibit potent integrase inhibition and display good antiviral effects in HIV-infected cells. The progress of Merck's L-870810 and Shionogi's S-1360 to phase II clin. trials has promised the inclusion of integrase inhibitors in "cocktail" combination therapies in the near future. This review presents a crit. overview of research related to this new class of integrase inhibitors.

IT 410544-95-5P, L 870810

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and structure-activity relationship of aryl diketo-contg. inhibitors of HIV-1 integrase)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full     
Text  References

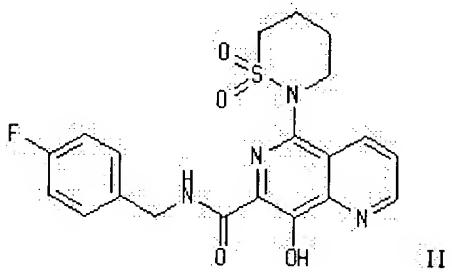
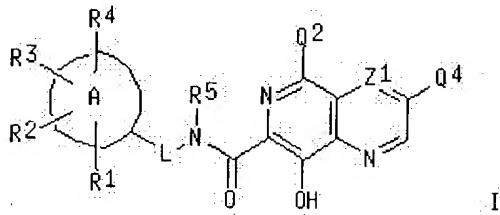
ACCESSION NUMBER: 2002:293653 HCAPLUS  
DOCUMENT NUMBER: 136:309919  
TITLE: Preparation of aza- and polyaza-naphthalenyl carboxamides as HIV integrase inhibitors  
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
SOURCE: PCT Int. Appl., 97 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002030931</u>	A2	20020418	<u>WO 2001-US42564</u>	20011009
<u>WO 2002030931</u>	A3	20021024		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
<u>AU 2002011874</u>	A5	20020422	<u>AU 2002-11874</u>	20011009

<u>EE 200300145</u>	A	20030616	<u>EE 2003-145</u>	20011009
<u>JP 2004511483</u>	T2	20040415	<u>JP 2002-534317</u>	20011009
<u>US 2003055071</u>	A1	20030320	<u>US 2001-973853</u>	20011010
<u>BG 107677</u>	A	20031128	<u>BG 2003-107677</u>	20030326
<u>NO 2003001672</u>	A	20030605	<u>NO 2003-1672</u>	20030411
<u>PRIORITY APPLN. INFO.:</u>				
			<u>US 2000-239707P</u>	P 20001012
			<u>US 2001-281656P</u>	P 20010405
			<u>WO 2001-US42564</u>	W 20011009

OTHER SOURCE(S): MARPAT 136:309919  
GI



AB Title compds. I [A = Ph, indanyl, naphthyl, etc; L = single bond, alkyl, etc.; Z1 = N, CQ3; Q2-3 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc. or Q2-3 together with the carbon to which they are attached and the fused ring carbon atom attached therebetween form a 5-6-membered monocyclic heterocycle; Q4 = H, alkyl, fluoroalkyl, alkoxy, halo, CN, etc.; R1-2 = H, alkyl, fluoroalkyl, alkoxy, halo, OH, etc.; R3-4 = H, halo, CN, OH, alkyl, fluoroalkyl, alkoxy, etc.; R5 = H, (un)substituted alkyl, Ph, etc.] were prep'd. For instance, the Mitsunobu product of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate and Me N-[ (4-methylphenyl)sulfonyl]glycinate was cyclized to Me 8-hydroxy-1,6-naphthyridine-7-carboxylate (MeOH, NaOMe, 0°C, 1.5 h). The naphthyridine was converted to the 5-bromo deriv. (CH<sub>2</sub>Cl<sub>2</sub>, NBS) and the product condensed with 4-fluorobenzylamine to give the corresponding 7-carboxamide. Treatment of this intermediate with 1,4-butanesultam (prepn. given; Pyridine, Cu<sub>2</sub>O, reflux, 16 h) provided II. The sodium salt of II was characterized by DSC and XRPD and jet-milled to a particle size of 3 - 5 µ for use in oral dosage formulations. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS alone or in combination with other antivirals, immunomodulators, antibiotics or vaccines. Methods of preventing, treating or delaying the onset of AIDS and methods of preventing or treating **infection** by HIV are also described.

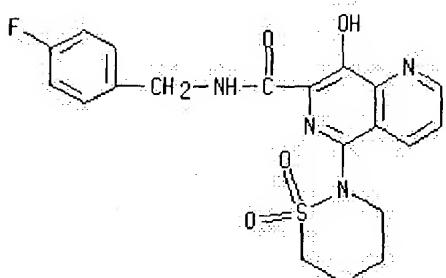
IT 410544-95-5P

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug; prepn. of aza- and polyaza-naphthalenyl carboxamides as HIV  
 integrase inhibitors)

RN 410544-95-5 HCAPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-8-hydroxy-5-(tetrahydro-1,1-dioxido-2H-1,2-thiazin-2-yl)- (9CI) (CA INDEX NAME)



L24 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2004 ACS on STN

Full  ~~Abstract~~  
 Text  References

ACCESSION NUMBER: 2002:293652 HCAPLUS  
 DOCUMENT NUMBER: 136:325531  
 TITLE: Preparation of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors  
 INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.; Egbertson, Melissa; Wai, John S.; Zhuang, Linghang; Embrey, Mark; Tran, Lekhanh; Melamed, Jeffrey Y.; Langford, H. Marie; Guare, James P.; Fisher, Thorsten E.; Jolly, Samson M.; Kuo, Michelle S.; Perlow, Debra S.; Bennett, Jennifer J.; Funk, Timothy W.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA  
 SOURCE: PCT Int. Appl., 434 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

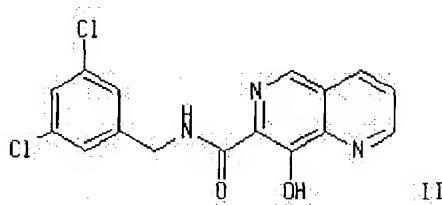
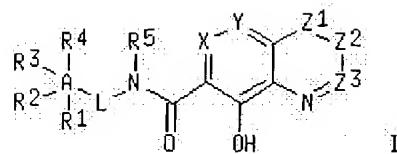
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2002030930</u>	A2	20020418	<u>WO 2001-US31456</u>	20011009
<u>WO 2002030930</u>	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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<u>EP 1326865</u>	A2	20030716	<u>EP 2001-979582</u>	20011009
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<u>US 2003055071</u>	A1	20030320	<u>US 2001-973853</u>	20011010
<u>PRIORITY APPLN. INFO.:</u>			<u>US 2000-239707P</u>	P 20001012

US 2001-281656P  
WO 2001-US31456P 20010405  
W 20011009

OTHER SOURCE(S):

MARPAT 136:325531

GI



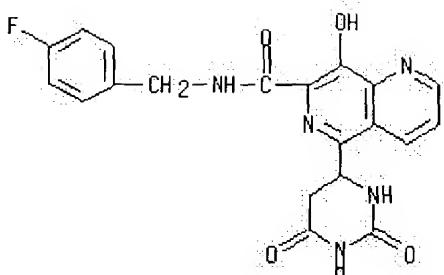
AB Title compds., including certain quinoline carboxamide and naphthyridine carboxamide derivs., I [wherein A = (un)substituted Ph or Ph fused to a carbocycle; L = a single bond, or (un)substituted alkyl, alkenyl, alkylcycloalkylalkyl, or alkyl-M-alkyl; M = NRa, OCO, or CO2; X = N or CQ1; Y = N or CQ2, provided that X and Y are not both N; Z1 = N or CQ3; Z2 = N or CQ4; Z3 = N or CH; Q1-Q4 = independently H, halo, CN, NR1CR1O, or (un)substituted alkyl, alkoxy, alkenyl, alkynyl, carbamoyl, carboximidamido, amino, etc.; or C2Q2Q3 = (un)substituted 5- or 6-membered carbocycle or heterocycle; R1 and R2 = independently H, OH, halo, NO2, CN, or (un)substituted alkyl, alkenyl, alkoxy, amino, sulfonylamino, etc.; R3 and R4 = independently H, halo, CN, NO2, OH, alkenyl, or (un)substituted alkyl, amino, sulfonylamino, etc.; R5 = H, CN, CN, or (un)substituted alkyl or aryl; Ra = independently H or (halo)alkyl; or pharmaceutically acceptable salts thereof] were prep'd. I are inhibitors of HIV integrase and inhibitors of HIV replication, and are useful in the prevention or treatment of **infection** by HIV and the treatment of AIDS, as compds. or pharmaceutically acceptable salts, or as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics, or vaccines. For example, Mitsunobu reaction of iso-Pr 3-(hydroxymethyl)pyridine-2-carboxylate with Me N-[(4-methylphenyl)sulfonyl]glycinate, followed by cyclization in the presence on NaOMe, afforded Me 8-hydroxy-1,6-naphthyridine-7-carboxylate. Coupling with 3,5-dichlorobenzylamine in toluene gave II. Representative compds. were assayed for the inhibition of acute HIV **infection** of T-lymphoid cells and demonstrated IC95 values of < 20  $\mu$ M.

IT **410544-69-3P**, N-(4-Fluorobenzyl)-5-(2,6-dioxohexahydro-4-yl)-8-hydroxy[1,6]naphthyridine-7-carboxamide

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); THU (Therapeutic use); THU (Therapeutic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(HIV integrase inhibitor; prepn. of (poly)azanaphthalenyl carboxamides as HIV integrase inhibitors for treatment of AIDS)

RN **410544-69-3** HCPLUS

CN 1,6-Naphthyridine-7-carboxamide, N-[(4-fluorophenyl)methyl]-5-(hexahydro-2,6-dioxo-4-pyrimidinyl)-8-hydroxy- (9CI) (CA INDEX NAME)



=> file reg

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 DICTIONARY FILE UPDATES: 5 NOV 2004 HIGHEST RN 775356-23-5

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 BATCH \*\*COMPLETE\*\*

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FILE COVERS 1907 - 8 Nov 2004 VOL 141 ISS 20  
 FILE LAST UPDATED: 7 Nov 2004 (20041107/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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 2674063 RCT/RL  
 L28 168 L27/RCT  
 (L27 (L) RCT/RL)

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 L21 16 S L9/THU  
 L22 0 S L21 AND FUNG?  
 L23 0 S L21 AND PLANT  
 L24 10 S L21 AND INFECT?

FILE 'REGISTRY' ENTERED AT 01:04:33 ON 08 NOV 2004  
 L25 STRUCTURE uploaded  
 L26 6 S L25  
 L27 164 S L25 FULL

FILE 'HCAPLUS' ENTERED AT 01:08:52 ON 08 NOV 2004  
 L28 168 S L27/RCT

=> s 19/prep  
 23 L9  
 3219802 PREP/RL  
 L29 18 L9/PREP  
 (L9 (L) PREP/RL)

=> s 129 and 128  
 L30 3 L29 AND L28

=> d 130, ibib abs hitstr, 1-3

L30 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

Full  Search  
 Text  Text only

ACCESSION NUMBER: 2001:152650 HCAPLUS  
 DOCUMENT NUMBER: 134:207831  
 TITLE: Preparation, composition and use of heterocyclic  
 aromatic amides as fungicides  
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III;  
 Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam  
 Salim; Miesel, John Louis; Fitzpatrick, Gina Marie;  
 Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed;

Morrison, Irene Mae; Henry, Matthew James; Adamski,  
 Butz Jenifer Lynn; Gajewski, Robert Peter  
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA  
 SOURCE: PCT Int. Appl., 200 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2001014339</u>	A2	20010301	<u>WO 2000-US21523</u>	20000804
<u>WO 2001014339</u>	A3	20011115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>US 6521622</u>	B1	20030218	<u>US 2000-620662</u>	20000720
<u>AU 2000065267</u>	A5	20010319	<u>AU 2000-65267</u>	20000804
<u>US 6355660</u>	B1	20020312	<u>US 2000-632930</u>	20000804
<u>EP 1204643</u>	A2	20020515	<u>EP 2000-952599</u>	20000804
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
<u>EP 1234823</u>	A2	20020828	<u>EP 2002-9583</u>	20000804
<u>EP 1234823</u>	A3	20030618		
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<u>EP 1234825</u>	A2	20020828	<u>EP 2002-9585</u>	20000804
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<u>EP 1234827</u>	A2	20020828	<u>EP 2002-9590</u>	20000804
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
<u>TR 200200409</u>	T2	20030321	<u>TR 2002-200200409</u>	20000804
<u>BR 2000013469</u>	A	20030429	<u>BR 2000-13469</u>	20000804
<u>JP 2003527324</u>	T2	20030916	<u>JP 2001-518428</u>	20000804
<u>US 2002177578</u>	A1	20021128	<u>US 2001-22413</u>	20011213
<u>US 2003018052</u>	A1	20030123	<u>US 2001-22207</u>	20011213
<u>US 2003018012</u>	A1	20030123	<u>US 2001-22511</u>	20011213
<u>US 6706740</u>	B2	20040316		
<u>US 2003022902</u>	A1	20030130	<u>US 2001-22483</u>	20011213
<u>US 2003022903</u>	A1	20030130	<u>US 2001-23497</u>	20011213
<u>ZA 2002000435</u>	A	20030117	<u>ZA 2002-435</u>	20020117
<u>US 2004034025</u>	A1	20040219	<u>US 2002-307844</u>	20021202
<u>US 2004048864</u>	A1	20040311	<u>US 2002-307710</u>	20021202

102(a)

70

PRIORITY APPLN. INFO.:

<u>US 1999-149977P</u>	P 19990820
<u>US 1999-150248P</u>	P 19990823
<u>US 2000-620662</u>	A 20000720
<u>US 1999-144676P</u>	P 19990720
<u>EP 2000-952599</u>	A3 20000804
<u>US 2000-632930</u>	A3 20000804
<u>WO 2000-US21523</u>	W 20000804

OTHER SOURCE(S):

MARPAT 134:207831

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; wherein X1-X4 independently = O, S, NR1, N, CR2, bond; R1 = H, C1-3 alkyl, C2-3 alkenyl, C2-3 alkynyl, OH, CHF2, C1-4 alkoxy; R2 = H, F, Cl, Br, CN, OH, C1-3 alkyl, C1-3 haloalkyl cyclopropyl, C1-3 alkoxy; Z = O, S, NOH, NOR3; R3 = C1-3 alkyl; A = C1-14 alkyl, C1-14 alkynyl, C1-14 cycloalkyl, aryl, heteroaryl, Q; M = H, Si(t-Bu)Me2, Si(Ph)Me2, SiEt3, CZR4, SO2R5; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl; R5 = aryl, heteroaryl, C1-6 alkyl, C2-6 alkenyl, C3-6 alkenyl, C3-6 alkynyl, C3-6 cycloalkyl; X, Y independently = O, S; W = O, CH2, bond; R = C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-8 cycloalkyl, aryl, heteroaryl; R11 = H, C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl; R10 = H, R, OR, OCOR, OCOOR; R8, R9 independently = H, C1-6 alkyl, C2-6 alkenyl; R6, R7 independently = H, C1-6 alkyl, C2-6 alkenyl, C2-5 alkynyl, C3-6 cycloalkyl] are prepd. as fungicides involving application methods of effective usage of title compds. to control fungi, particularly plant pathogens and wood decaying fungi. The invention also encompasses hydrates, salts and complexes thereof. The title compd. II was prepd. and tested as fungicide.

IT 267415-93-0P 321597-82-4P 321597-85-7P

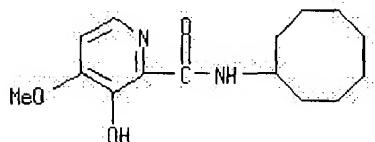
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321598-63-4P 321598-64-5P 321598-65-6P  
321598-66-7P 321598-67-8P 321598-68-9P  
321598-71-4P 321600-41-3P 321601-50-7P  
321601-51-8P 321601-52-9P 321744-54-1P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 267415-93-0 HCPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



W2 (a)

h

eb c

g cg

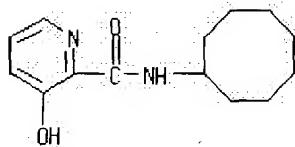
b

cg

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RN 321597-82-4 HCAPLUS

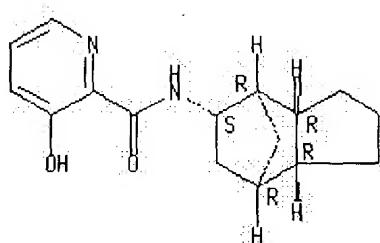
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-85-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR, 4R, 5S, 7R, 7aR)-octahydro-4, 7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

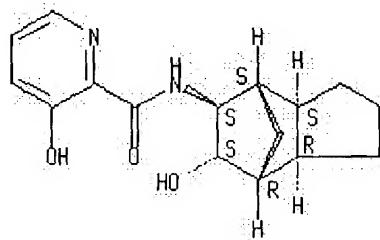
Relative stereochemistry.



RN 321597-86-8 HCAPLUS

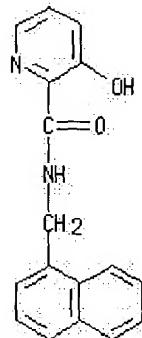
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR, 4R, 5R, 6R, 7S, 7aS)-octahydro-6-hydroxy-4, 7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-00-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



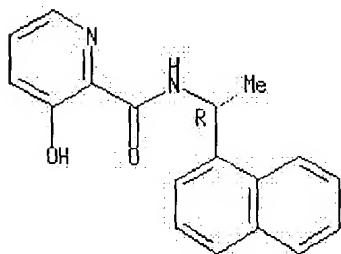
RN 321598-03-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R)-1-(1-naphthalenyl)ethyl]- (9CI) (CA INDEX NAME)

h eb c g cg b cg

eb

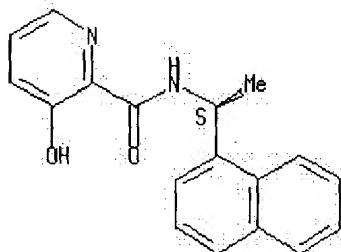
Absolute stereochemistry.



RN 321598-04-3 HCPLUS

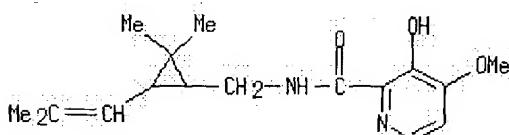
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1S)-1-(1-naphthalenyl)ethyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

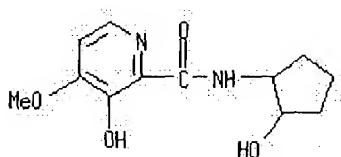


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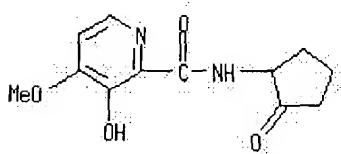
CN 2-Pyridinecarboxamide, N-[(2,2-dimethyl-3-(2-methyl-1-propenyl)cyclopropyl)methyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-20-3 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-(2-hydroxycyclopentyl)-4-methoxy- (9CI)  
(CA INDEX NAME)

RN 321598-21-4 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2-oxocyclopentyl)- (9CI)  
(CA INDEX NAME)

h

eb

c

g

cg

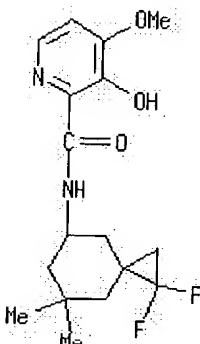
b

cg

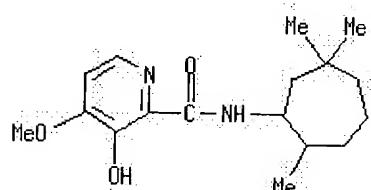
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RN 321598-27-0 HCPLUS

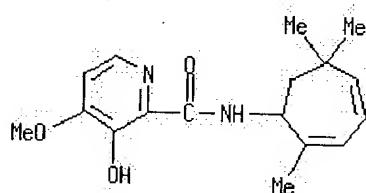
CN 2-Pyridinecarboxamide, N-(1,1-difluoro-7,7-dimethylspiro[2.5]oct-5-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 321598-47-4 HCPLUS

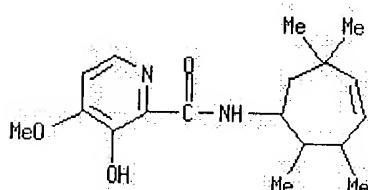
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethylcycloheptyl)- (9CI) (CA INDEX NAME)

RN 321598-48-5 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)

RN 321598-49-6 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,3,6,6-tetramethyl-4-cyclohepten-1-yl)- (9CI) (CA INDEX NAME)

RN 321598-50-9 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)

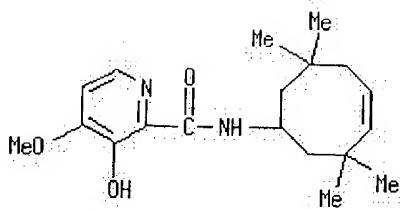
h

eb

c g

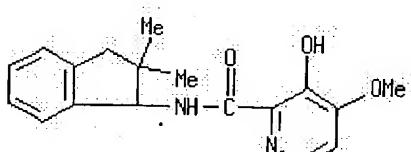
cg

eb



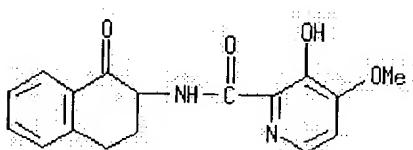
RN 321598-51-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-52-1 HCAPLUS

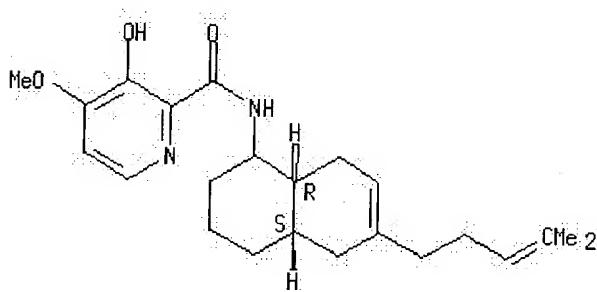
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 321598-53-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

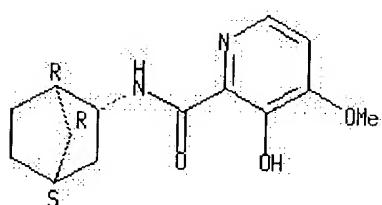
Relative stereochemistry.



RN 321598-54-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-55-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3,4-tetrahydro-1,2-dihydro-4H-1,3-dioxolane-2-yl]- (9CI) (CA INDEX NAME)

h

eb

c

g cg

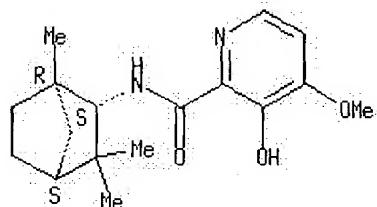
b

cg

eb

trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

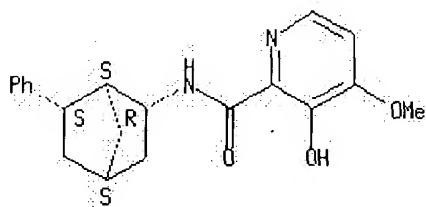
Relative stereochemistry.



RN 321598-56-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

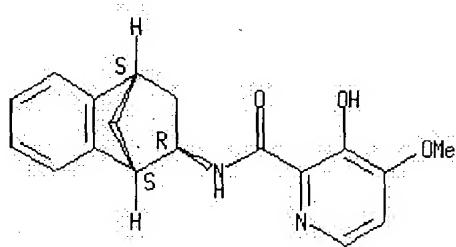
Relative stereochemistry.



RN 321598-57-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

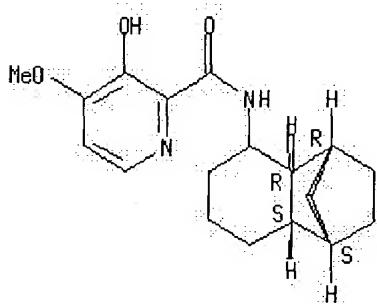
Relative stereochemistry.



RN 321598-58-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



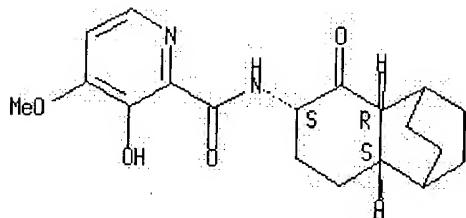
RN 321598-59-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(4aR,6S,8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

h eb c g cg b cg

eb

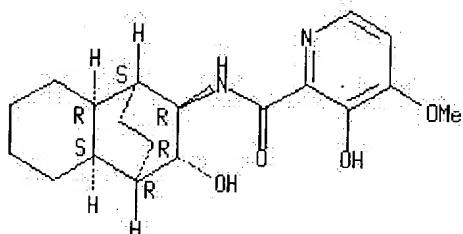
Relative stereochemistry.



RN 321598-60-1 HCPLUS

CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,4aR,8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

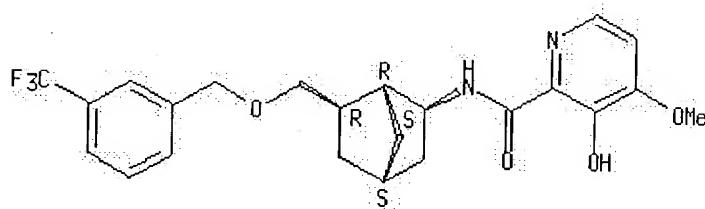
Relative stereochemistry.



RN 321598-61-2 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

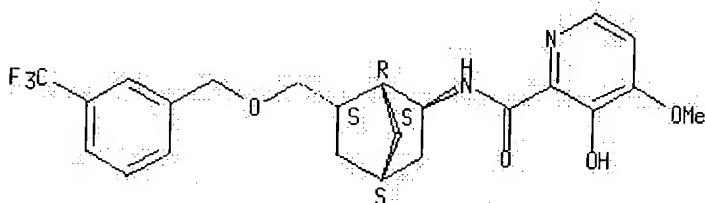
Relative stereochemistry.



RN 321598-62-3 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

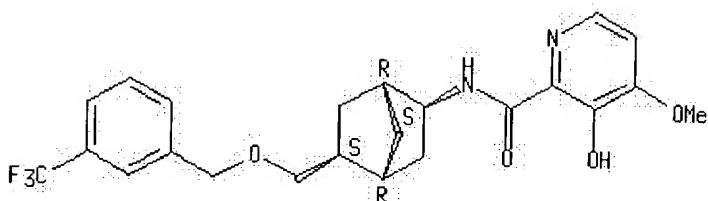
Relative stereochemistry.



RN 321598-63-4 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

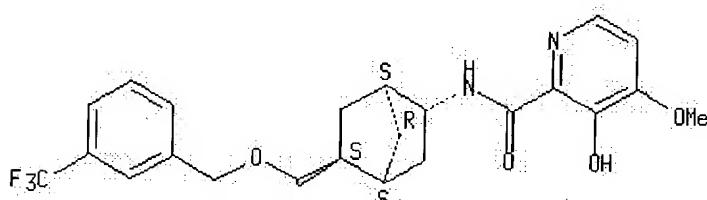
Relative stereochemistry.



RN 321598-64-5 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[(3-(trifluoromethyl)phenyl)methoxy]methyl]bicyclo[2.2.1]hept-2-yl-, rel-(9CI) (CA INDEX NAME)

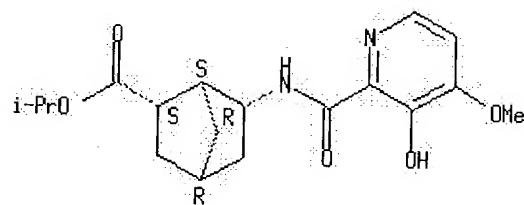
Relative stereochemistry.



RN 321598-65-6 HCPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

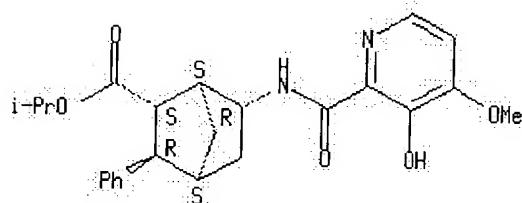
Relative stereochemistry.



RN 321598-66-7 HCPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

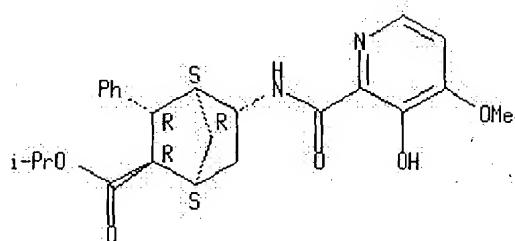
Relative stereochemistry.



RN 321598-67-8 HCPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

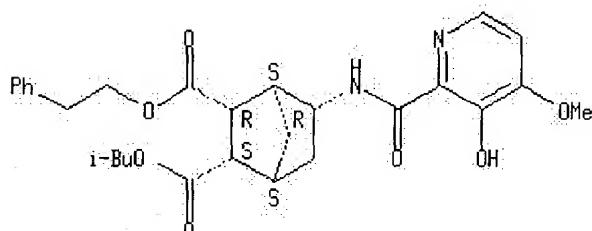
Relative stereochemistry.



RN 321598-68-9 HCPLUS

CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[[[3-hydroxy-4-methoxy-2-pyridinyl]carbonyl]amino]-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R,2R,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

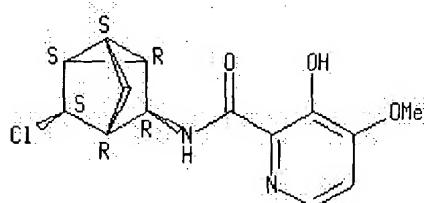
Relative stereochemistry.



RN 321598-71-4 HCPLUS

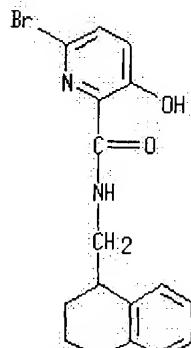
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,5R,6R)-5-chlorotricyclo[2.2.1.02,6]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321600-41-3 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



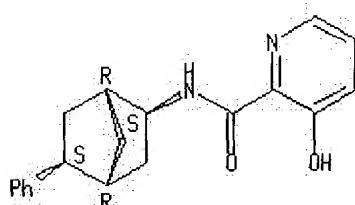
RN 321601-50-7 HCPLUS

h eb c g cg b cg

eb

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

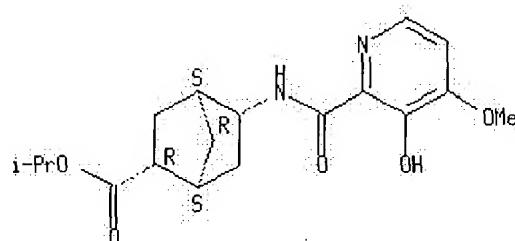
Relative stereochemistry.



RN 321601-51-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[3-hydroxy-4-methoxy-2-pyridinyl]carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

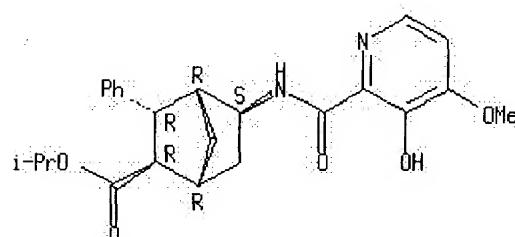
Relative stereochemistry.



RN 321601-52-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[[3-hydroxy-4-methoxy-2-pyridinyl]carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

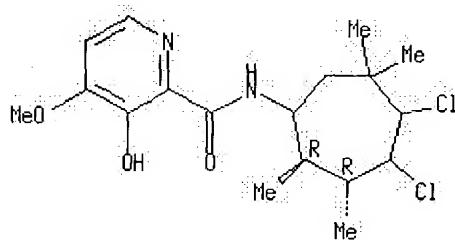
Relative stereochemistry.



RN 321744-54-1 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



h

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cg

eb

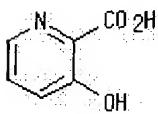
IT 874-24-8, 3-Hydroxypyridine-2-carboxylic acid 62733-99-7, Methyl 3-hydroxypyridine-2-carboxylate 153140-15-9210300-09-7 267416-45-5

RL: RCT (Reactant); RACT (Reactant or reagent)

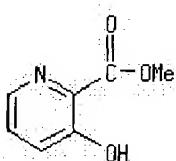
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 874-24-8 HCPLUS

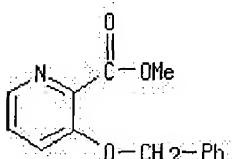
CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)

RN 62733-99-7 HCPLUS

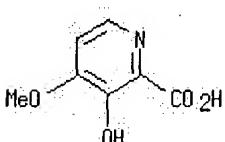
CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)

RN 153140-15-9 HCPLUS

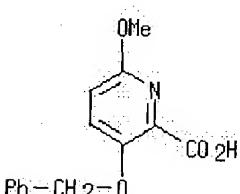
CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

RN 210300-09-7 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 267416-45-5 HCPLUS

CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

IT 151070-97-2P 321596-51-4P 321596-54-7P321596-55-8P 321596-56-9P 321596-57-0P321596-58-1P 321597-64-2P 321597-65-3P321597-72-2P 321597-73-3P 321601-48-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP

h

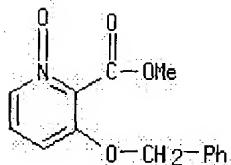
eb c g cg b cg

eb

(Preparation); RACT (Reactant or reagent)

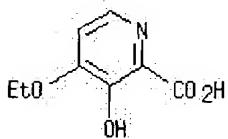
(prepn. and fungicidal activity of heterocyclic arom. amides)

RN 151070-97-2 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI)  
(CA INDEX NAME)

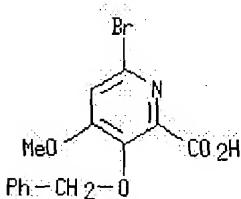
RN 321596-51-4 HCPLUS

CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)



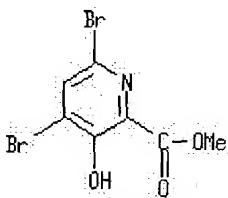
RN 321596-54-7 HCPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



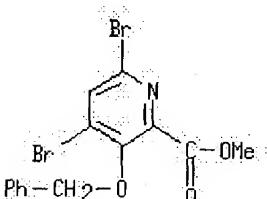
RN 321596-55-8 HCPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



RN 321596-56-9 HCPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 321596-57-0 HCPLUS

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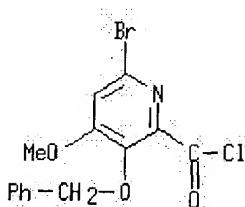
cg

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cg

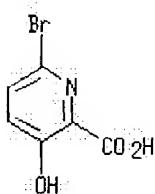
eb

CN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI)  
(CA INDEX NAME)



RN 321596-58-1 HCPLUS

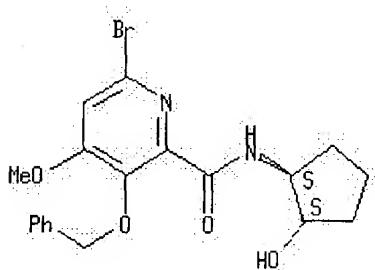
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-64-2 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

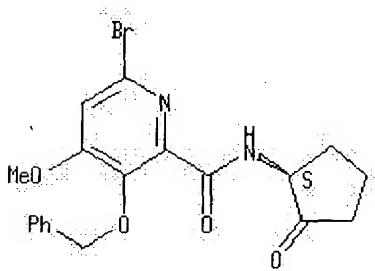
Absolute stereochemistry.



RN 321597-65-3 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321597-72-2 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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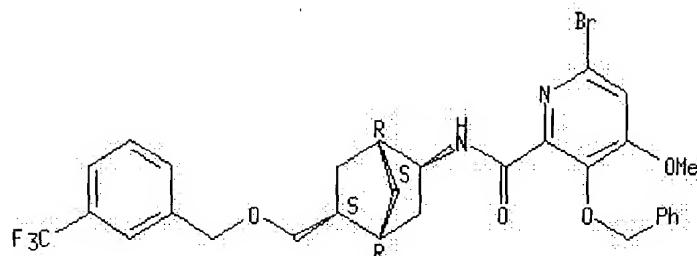
g

cg

b

cg

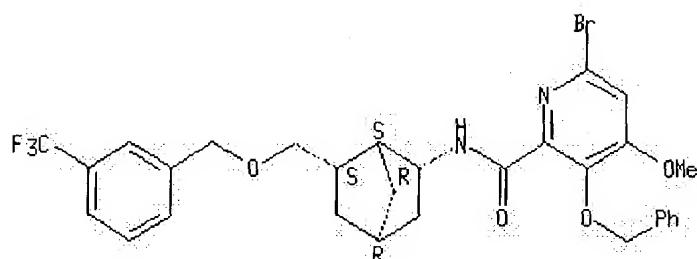
eb



RN 321597-73-3 HCAPLUS

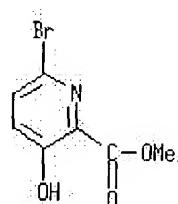
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-48-3 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



IT 328255-69-2P 328255-70-5P 328255-71-6P

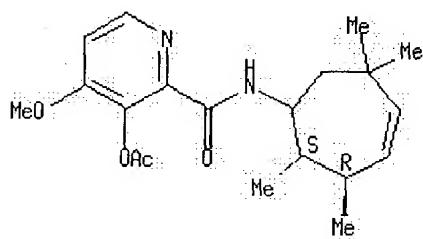
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**

(prepn. of heterocyclic arom. amides as fungicides)

RN 328255-69-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-[(2R,3S)-2,3,6,6-tetramethyl-4-cyclohepten-1-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 328255-70-5 HCAPLUS

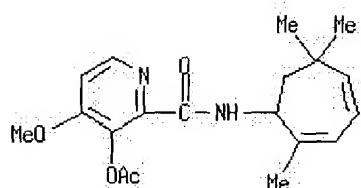
CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)

h

eb c

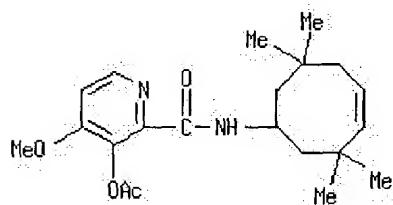
g cg b cg

eb



RN 328255-71-6 HCPLUS

CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



L30 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2004 ACS on STN

 Full  ~~Chemical~~  
 Text  ~~Chemical~~

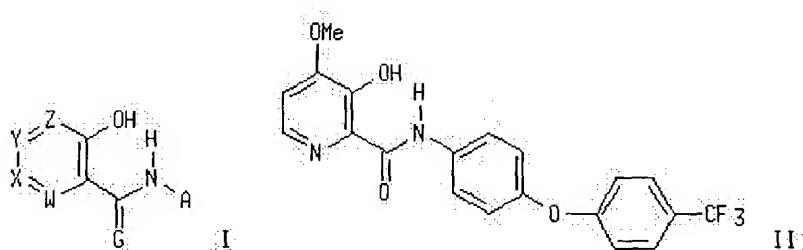
ACCESSION NUMBER: 2001:63978 HCPLUS  
 DOCUMENT NUMBER: 134:131431  
 TITLE: Fungicidal heterocyclic aromatic amides and their compositions, methods of use and preparation  
 INVENTOR(S): Ricks, Michael John; Dent, William Hunter, III; Rogers, Richard Brewer; Yao, Chenglin; Nader, Bassam Salim; Miesel, John Louis; Fitzpatrick, Gina Marie; Meyer, Kevin Gerald; Niyaz, Noormohamed Mohamed; Morrison, Irene Mae; Gajewski, Robert Peter  
 PATENT ASSIGNEE(S): Dow Agrosciences LLC, USA  
 SOURCE: PCT Int. Appl., 159 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2001005769</u>	A2	20010125	<u>WO 2000-US19794</u>	20000720
<u>WO 2001005769</u>	A3	20011122		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>EP 1196388</u>	A2	20020417	<u>EP 2000-950470</u>	20000720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
<u>JP 2003528806</u>	T2	20030930	<u>JP 2001-511430</u>	20000720
<u>BR 2000012615</u>	A	20040330	<u>BR 2000-12615</u>	20000720

<u>US 6355660</u>	B1	20020312	<u>US 2000-632930</u>	20000804
<u>US 2002177578</u>	A1	20021128	<u>US 2001-22413</u>	20011213
<u>US 2003018052</u>	A1	20030123	<u>US 2001-22207</u>	20011213
<u>US 2003018012</u>	A1	20030123	<u>US 2001-22511</u>	20011213
<u>US 6706740</u>	B2	20040316		
<u>US 2003022902</u>	A1	20030130	<u>US 2001-22483</u>	20011213
<u>US 2003022903</u>	A1	20030130	<u>US 2001-23497</u>	20011213
<u>ZA 2002000436</u>	A	20040302	<u>ZA 2002-436</u>	20020117
<u>US 2004034025</u>	A1	20040219	<u>US 2002-307844</u>	20021202
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			<u>WO 2000-US19794</u>	W 20000720
			<u>US 2000-632930</u>	A3 20000804

OTHER SOURCE(S): MARPAT 134:131431

GI



AB Title compds. I [W, X, Y, Z are selected from S, O, NR1, N, CR2 or bond and comprise a 5-6 membered (un)substituted heterocyclic ring; R1 = H, alkyl, alkenyl, alkynyl, OH, acyloxy, alkoxymethyl, CHF<sub>2</sub>, cyclopropyl, or alkoxy; R2 = H, halo, CN, OH, alkyl, haloalkyl, cyclopropyl, alkoxy, haloalkoxy, etc.; G = O, S or NOR<sub>3</sub> where R<sub>3</sub> = H or alkyl; A = (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, unsatd. cycloalkyl, heterocycle, bi or tricyclic ring system which may contain heteroatoms, aryl or heteroaryl, etc.] bearing a hydroxy group adjacent to the amide functionality are prepd. and disclosed as antifungal agents, particularly for plants. Thus, pyridinyl carboxamide II was prepd. via amidation of 3-benzyloxy-6-bromo-4-methoxypyridin-2-carbonyl chloride with 4-(4-trifluoromethylphenoxy)aniline with subsequent deprotection. The preferred fungicidal compn. consists of a compd. of formula I with a phytol. acceptable carrier. Activity has been demonstrated against a variety of fungi, e.g., *Plasmopara viticola* (Downy Mildew of Grape), *Phytophthora infestans* (Late Blight of Tomato), and *Venturia inaequalis* (Apple Scab). I is both useful for eradication and prevention of fungal attack.

IT 267415-93-0P 321597-82-4P 321597-85-7P  
321597-86-8P 321598-00-9P 321598-03-2P  
321598-04-3P 321598-13-4P 321598-20-3P  
321598-21-4P 321598-27-0P 321598-47-4P  
321598-48-5P 321598-49-6P 321598-50-9P  
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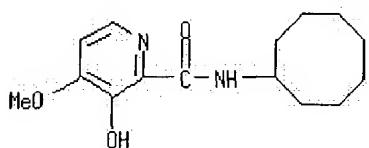
**321601-51-8P 321601-52-9P 321744-54-1P**

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. and fungicidal activity of heterocyclic arom. amides)

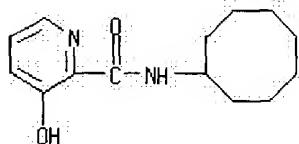
RN 267415-93-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321597-82-4 HCAPLUS

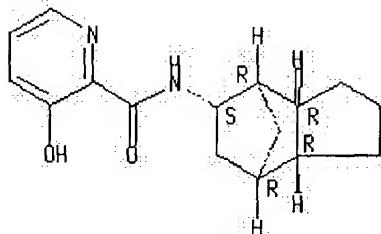
CN 2-Pyridinecarboxamide, N-cyclooctyl-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-85-7 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5S,7R,7aR)-octahydro-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

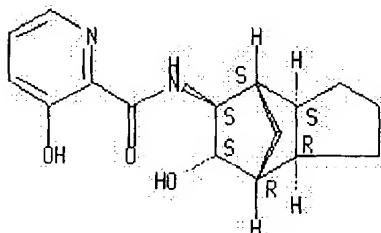
Relative stereochemistry.



RN 321597-86-8 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(3aR,4R,5R,6R,7S,7aS)-octahydro-6-hydroxy-4,7-methano-1H-inden-5-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

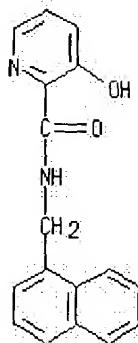


RN 321598-00-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)

h eb c g cg b cg

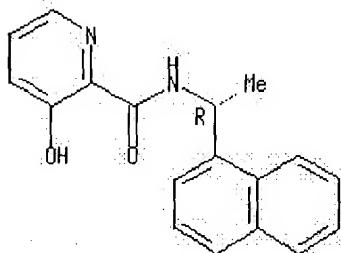
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RN 321598-03-2 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R)-1-(1-naphthalenyl)ethyl]- (9CI)  
(CA INDEX NAME)

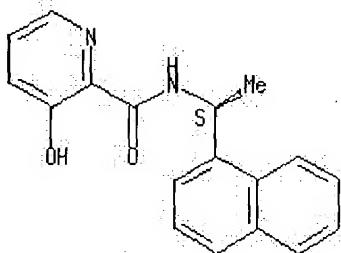
Absolute stereochemistry.



RN 321598-04-3 HCAPLUS

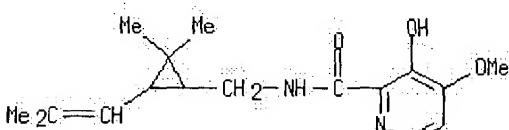
CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1S)-1-(1-naphthalenyl)ethyl]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 321598-13-4 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2,2-dimethyl-3-(2-methyl-1-propenyl)cyclopropyl)methyl]-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-20-3 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-(2-hydroxycyclopentyl)-4-methoxy- (9CI)  
(CA INDEX NAME)

h

eb

c

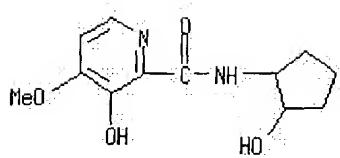
g

cg

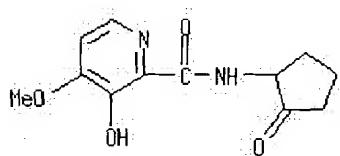
b

cg

eb

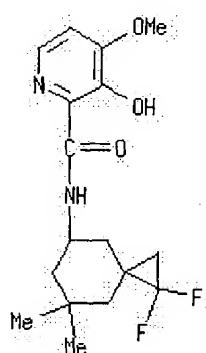


RN 321598-21-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2-oxocyclopentyl)- (9CI)  
(CA INDEX NAME)

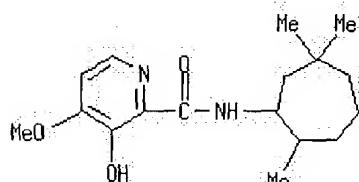
RN 321598-27-0 HCAPLUS

CN 2-Pyridinecarboxamide, N-(1,1-difluoro-7,7-dimethylspiro[2.5]oct-5-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



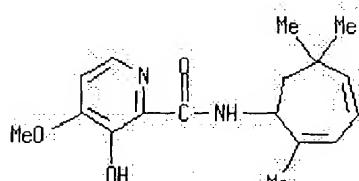
RN 321598-47-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethylcycloheptyl)- (9CI) (CA INDEX NAME)



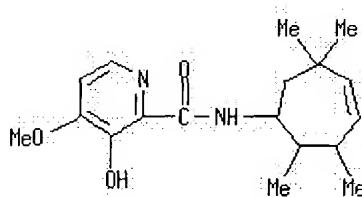
RN 321598-48-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,6,6-trimethyl-2,4-cycloheptadien-1-yl)- (9CI) (CA INDEX NAME)



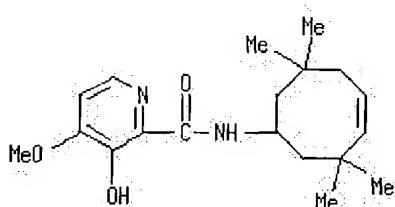
RN 321598-49-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(2,3,6,6-tetramethyl-4-cyclohepten-1-yl)- (9CI) (CA INDEX NAME)



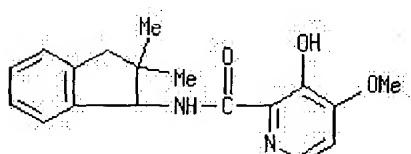
RN 321598-50-9 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(3,3,7,7-tetramethyl-4-cycloocten-1-yl)- (9CI) (CA INDEX NAME)



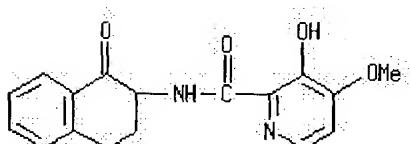
RN 321598-51-0 HCPLUS

CN 2-Pyridinecarboxamide, N-(2,3-dihydro-2,2-dimethyl-1H-inden-1-yl)-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 321598-52-1 HCPLUS

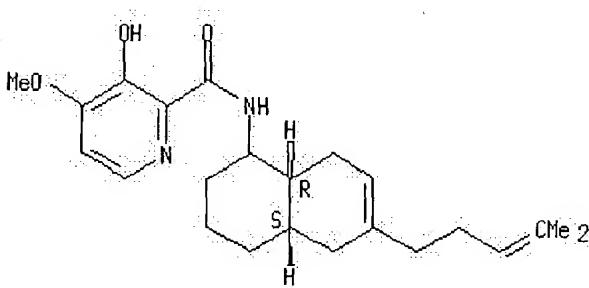
CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-(1,2,3,4-tetrahydro-1-oxo-2-naphthalenyl)- (9CI) (CA INDEX NAME)



RN 321598-53-2 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(4aR,8aS)-1,2,3,4,4a,5,8,8a-octahydro-6-(4-methyl-3-pentenyl)-1-naphthalenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



h

eb

c

g cg

b

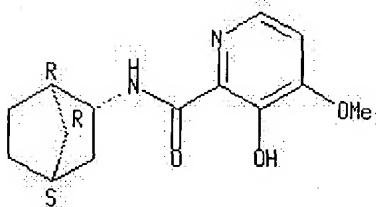
cg

eb

RN 321598-54-3 HCAPLUS

CN 2-Pyridinecarboxamide, N-(1R,2R,4S)-bicyclo[2.2.1]hept-2-yl-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

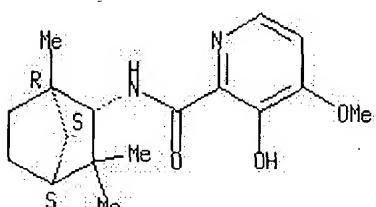
Relative stereochemistry.



RN 321598-55-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S)-1,3,3-trimethylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

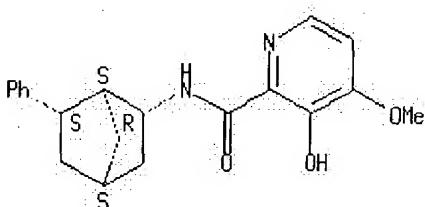
Relative stereochemistry.



RN 321598-56-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,6R)-6-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

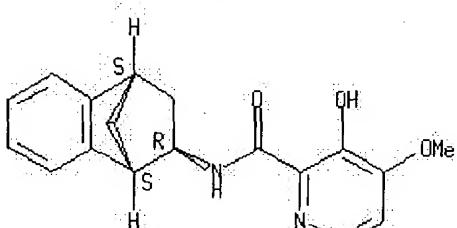
Relative stereochemistry.



RN 321598-57-6 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R)-1,2,3,4-tetrahydro-1,4-methanonaphthalen-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-58-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1R,4S,4aS,8aR)-decahydro-1,4-methanonaphthalen-5-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

h

eb

c

g

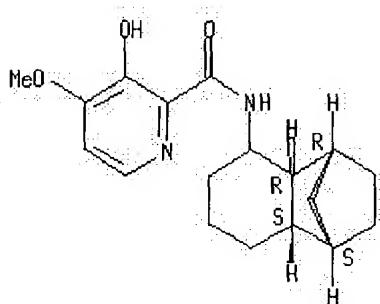
cg

b

cg

eb

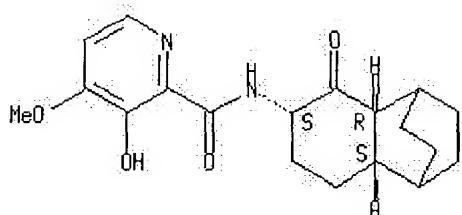
Relative stereochemistry.



RN 321598-59-8 HCPLUS

CN 2-Pyridinecarboxamide, N-[(4aR,6S,8aS)-decahydro-5-oxo-1,4-ethanonaphthalen-6-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

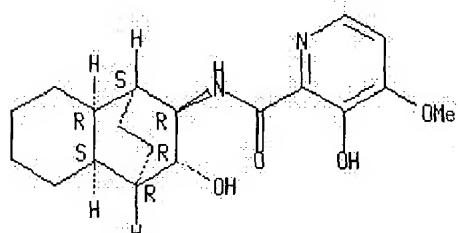
Relative stereochemistry.



RN 321598-60-1 HCPLUS

CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,4aR,8aS)-decahydro-3-hydroxy-1,4-ethanonaphthalen-2-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

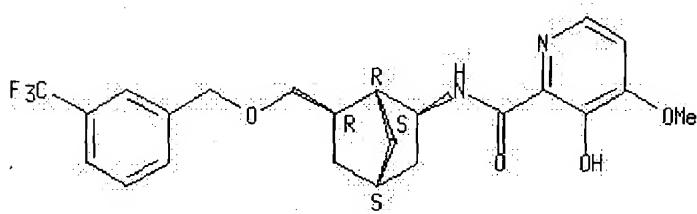
Relative stereochemistry.



RN 321598-61-2 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6R)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



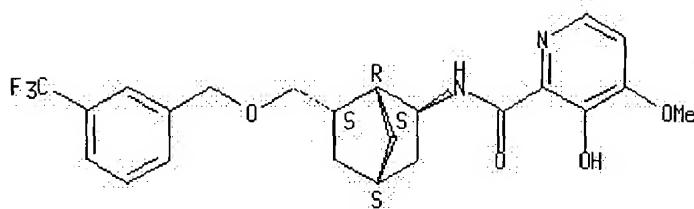
RN 321598-62-3 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4S,6S)-6-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

h eb c g cg b cg

eb

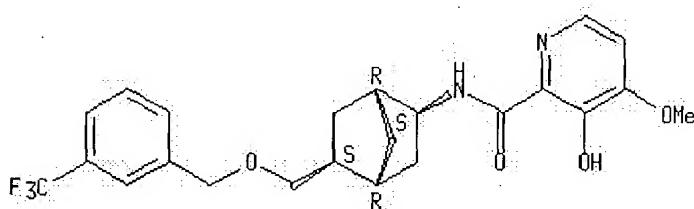
Relative stereochemistry.



RN 321598-63-4 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5S)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel-(9CI) (CA INDEX NAME)

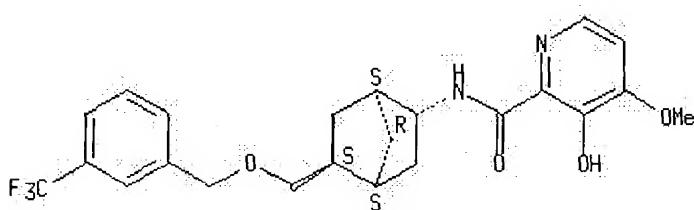
Relative stereochemistry.



RN 321598-64-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[(1R,2S,4R,5R)-5-[[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel-(9CI) (CA INDEX NAME)

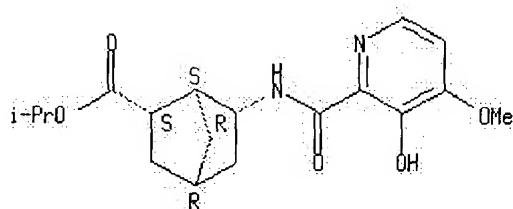
Relative stereochemistry.



RN 321598-65-6 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[3-hydroxy-4-methoxy-2-pyridinyl]carbonyl]amino]-, 1-methylethyl ester, (1R,2R,4S,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321598-66-7 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 6-[[[3-hydroxy-4-methoxy-2-pyridinyl]carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3S,4R,6S)-rel- (9CI) (CA INDEX NAME)

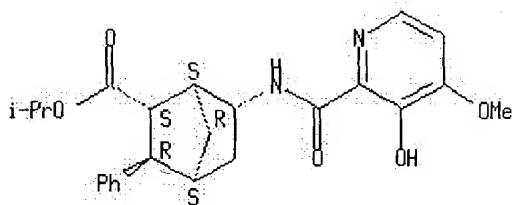
h

eb c

g cg b cg

eb

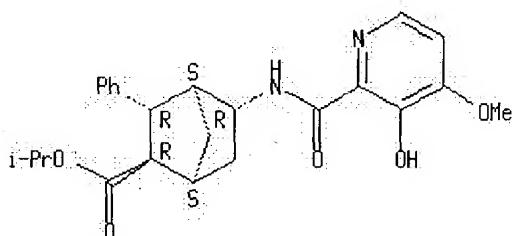
Relative stereochemistry.



RN 321598-67-8 HCAPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2S,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

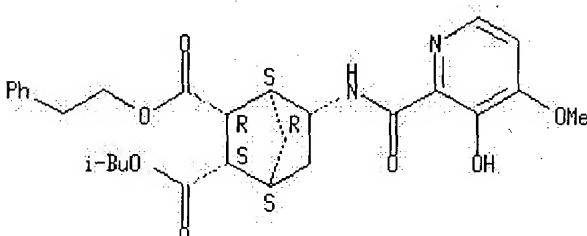
Relative stereochemistry.



RN 321598-68-9 HCAPLUS

CN Bicyclo[2.2.1]heptane-2,3-dicarboxylic acid, 5-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino-, 2-(2-methylpropyl) 3-(2-phenylethyl) ester, (1R,2R,3S,4R,5S)-rel- (9CI) (CA INDEX NAME)

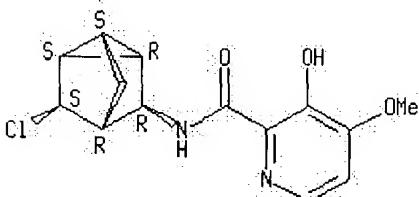
Relative stereochemistry.



RN 321598-71-4 HCAPLUS

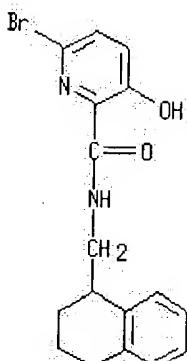
CN 2-Pyridinecarboxamide, N-[(1R,2S,3S,4S,5R,6R)-5-chlorotricyclo[2.2.1.02,6]hept-3-yl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321600-41-3 HCAPLUS

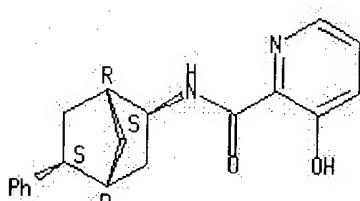
CN 2-Pyridinecarboxamide, 6-bromo-3-hydroxy-N-[(1,2,3,4-tetrahydro-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)



RN 321601-50-7 HCPLUS

CN 2-Pyridinecarboxamide, 3-hydroxy-N-[(1R,2S,4R,5S)-5-phenylbicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

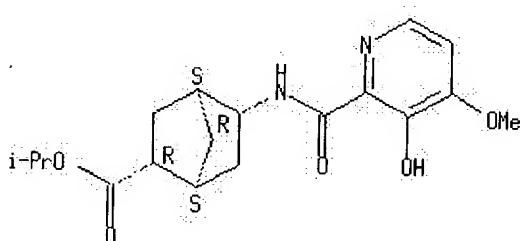
Relative stereochemistry.



RN 321601-51-8 HCPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-, 1-methylethyl ester, (1R,2S,4R,5S)-rel- (9CI) (CA INDEX NAME)

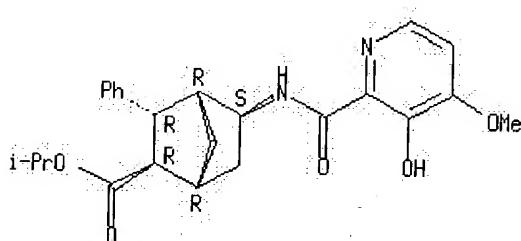
Relative stereochemistry.



RN 321601-52-9 HCPLUS

CN Bicyclo[2.2.1]heptane-2-carboxylic acid, 5-[(3-hydroxy-4-methoxy-2-pyridinyl)carbonyl]amino]-3-phenyl-, 1-methylethyl ester, (1R,2R,3R,4R,5S)-rel- (9CI) (CA INDEX NAME)

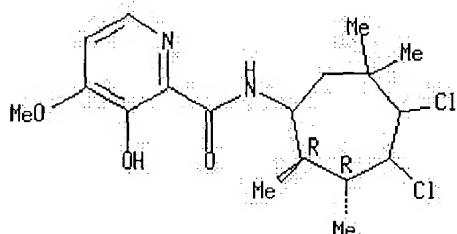
Relative stereochemistry.



RN 321744-54-1 HCPLUS

CN 2-Pyridinecarboxamide, N-[(2R,3R)-4,5-dichloro-2,3,6,6-tetramethylcycloheptyl]-3-hydroxy-4-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 874-24-8, 3-Hydroxypyridine-2-carboxylic acid 62733-99-7

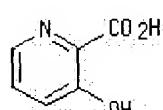
, Methyl 3-hydroxypyridine-2-carboxylate 153140-15-9

210300-09-7 267416-45-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. and fungicidal activity of heterocyclic arom. amides)

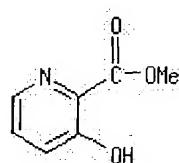
RN 874-24-8 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)



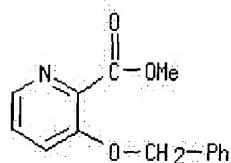
RN 62733-99-7 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



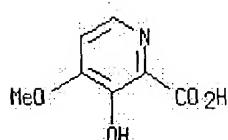
RN 153140-15-9 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 210300-09-7 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-45-5 HCPLUS

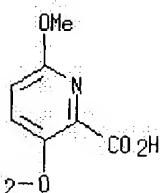
CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

h

eb c

g cg b cg

eb



IT 151070-97-2P 321596-51-4P 321596-54-7P

321596-55-8P 321596-56-9P 321596-57-0P

321596-58-1P 321597-64-2P 321597-65-3P

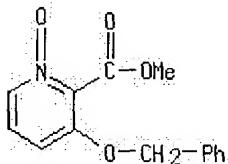
321597-72-2P 321597-73-3P 321601-48-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and fungicidal activity of heterocyclic arom. amides)

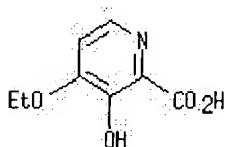
RN 151070-97-2 HCPLUS

CN 2-Pyridinecarboxylic acid, 3-(phenylmethoxy)-, methyl ester, 1-oxide (9CI) (CA INDEX NAME)



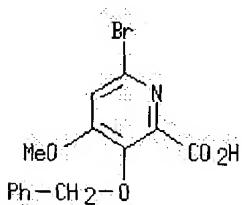
RN 321596-51-4 HCPLUS

CN 2-Pyridinecarboxylic acid, 4-ethoxy-3-hydroxy- (9CI) (CA INDEX NAME)



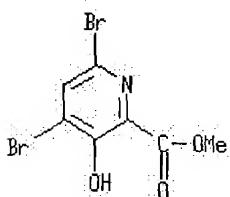
RN 321596-54-7 HCPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 321596-55-8 HCPLUS

CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



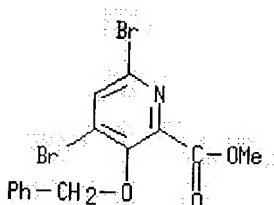
h

eb c

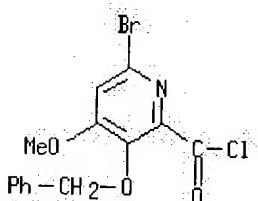
g cg b cg

eb

RN 321596-56-9 HCPLUS

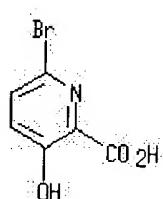
CN 2-Pyridinecarboxylic acid, 4,6-dibromo-3-(phenylmethoxy)-, methyl ester  
(9CI) (CA INDEX NAME)

RN 321596-57-0 HCPLUS

CN 2-Pyridinecarbonyl chloride, 6-bromo-4-methoxy-3-(phenylmethoxy)- (9CI)  
(CA INDEX NAME)

RN 321596-58-1 HCPLUS

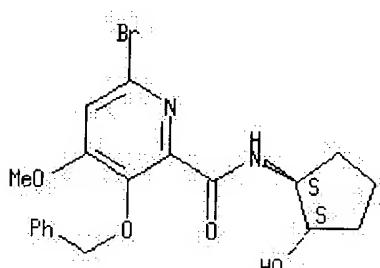
CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy- (9CI) (CA INDEX NAME)



RN 321597-64-2 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-N-[(1S,2S)-2-hydroxycyclopentyl]-4-methoxy-  
3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

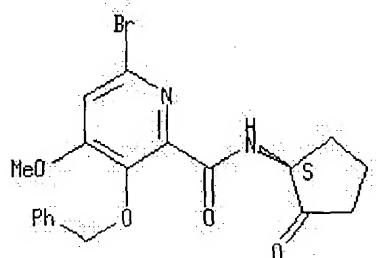
Absolute stereochemistry.



RN 321597-65-3 HCPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-N-[(1S)-2-oxocyclopentyl]-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)

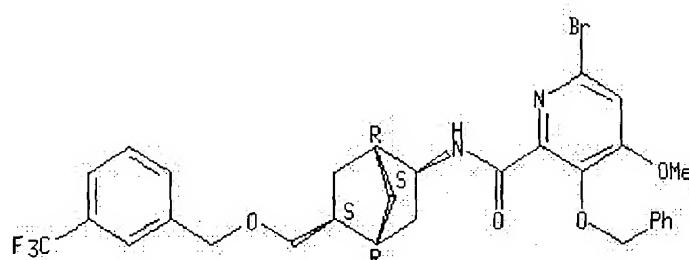
Absolute stereochemistry.



RN 321597-72-2 HCAPLUS

CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4R,5S)-5-[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

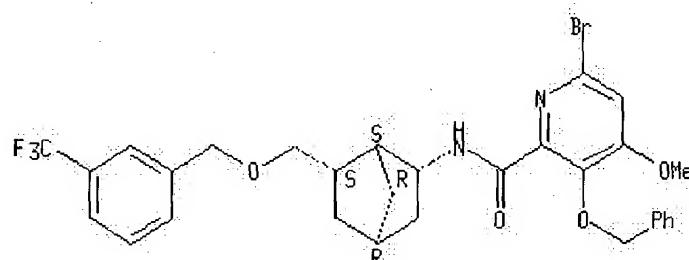
Relative stereochemistry.



RN 321597-73-3 HCAPLUS

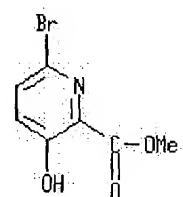
CN 2-Pyridinecarboxamide, 6-bromo-4-methoxy-3-(phenylmethoxy)-N-[(1R,2S,4S,6R)-6-[[3-(trifluoromethyl)phenyl]methoxy]methyl]bicyclo[2.2.1]hept-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 321601-48-3 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-bromo-3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



L30 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2004 ACS on STN

 Full Text  Search References

ACCESSION NUMBER: 2000:314676 HCAPLUS

DOCUMENT NUMBER: 132:334362

TITLE: Preparation of picolinamide derivatives and pest

controllers containing the same as the active ingredient

INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi; Yamada, Natsuko; Yamamoto, Kazumi; Teraoka, Takeshi; Sakanaka, Osamu; Kurihara, Hiroshi; Taniguchi, Makoto

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

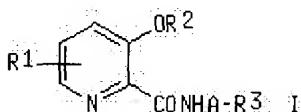
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
<u>WO 2000026191</u>	A1	20000511	<u>WO 1999-JP6142</u>	19991104
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
<u>CA 2353627</u>	AA	20000511	<u>CA 1999-2353627</u>	19991104
<u>EP 1134214</u>	A1	20010919	<u>EP 1999-954375</u>	19991104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
<u>AU 771975</u>	B2	20040408	<u>AU 2000-10768</u>	19991104
<u>PRIORITY APPLN. INFO.:</u>			<u>JP 1998-313688</u>	A 19981104
			<u>WO 1999-JP6142</u>	W 19991104

OTHER SOURCE(S): MARPAT 132:334362  
GI



AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides contg. the same; and a process for the prepn. of the compds. Thus, a soln. of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxy picolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

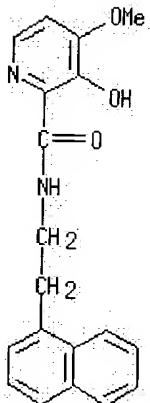
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267416-05-7P 267416-06-8P 267416-15-9P  
267416-28-4P 267416-29-5P 267416-30-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); **PREP (Preparation)**; USES (Uses)

(prepn. of picolinamide derivs. as pest controllers)

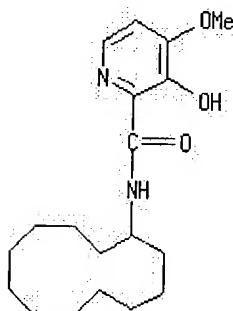
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CN 2-Pyridinecarboxamide, 3-hydroxy-4-methoxy-N-[2-(1-naphthalenyl)ethyl]-(9CI) (CA INDEX NAME)



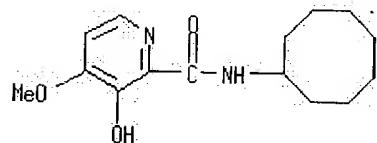
RN 267415-92-9 HCPLUS

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RN 267415-93-0 HCPLUS

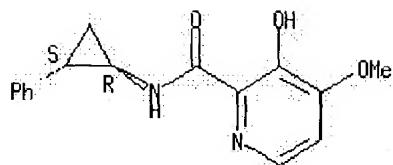
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RN 267416-05-7 HCPLUS

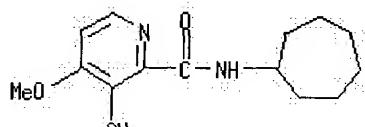
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Relative stereochemistry.



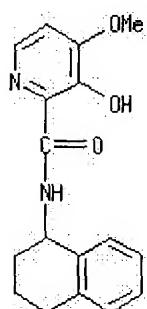
RN 267416-06-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-cycloheptyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



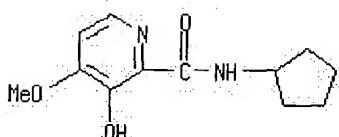
RN 267416-15-9 HCAPLUS

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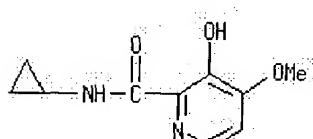
RN 267416-28-4 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclopentyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-29-5 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclopropyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)



RN 267416-30-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-cyclobutyl-3-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

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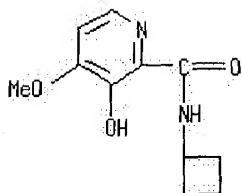
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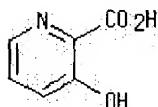


IT 874-24-8, 3-Hydroxypicolinic acid

RL: RCT (Reactant); RACT (Reactant or reagent)  
(prepn. of picolinamide derivs. as pest controllers)

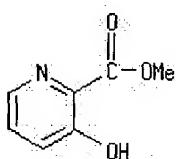
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CN 2-Pyridinecarboxylic acid, 3-hydroxy- (9CI) (CA INDEX NAME)

IT 62733-99-7P 151070-98-3P, 3-Benzylxy-6-hydroxy-  
picolinic acid methyl ester 153140-15-9P 164721-32-8P  
170689-56-2P 210300-09-7P 234113-31-6P  
234113-32-7P 234113-33-8P 267416-43-3P  
267416-44-4P 267416-45-5P 267416-46-6P  
267416-47-7P 267416-94-4P 267416-95-5P  
267416-96-6P 267416-97-7P 267416-98-8P  
267417-00-5P 267417-01-6PRL: RCT (Reactant); SPN (Synthetic preparation); PREP  
(Preparation); RACT (Reactant or reagent)  
(prepn. of picolinamide derivs. as pest controllers)

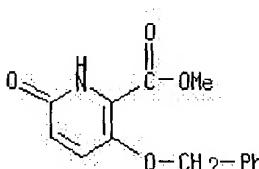
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CN 2-Pyridinecarboxylic acid, 3-hydroxy-, methyl ester (9CI) (CA INDEX NAME)



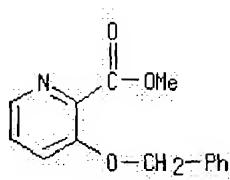
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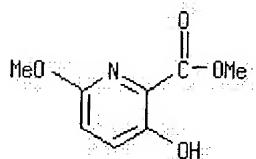
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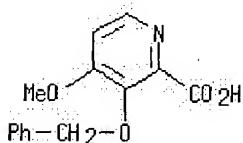
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CN 2-Pyridinecarboxylic acid, 3-hydroxy-6-methoxy-, methyl ester (9CI) (CA INDEX NAME)



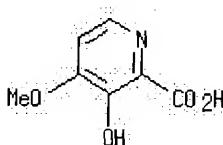
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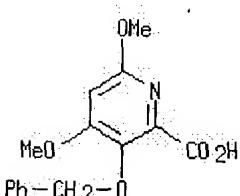
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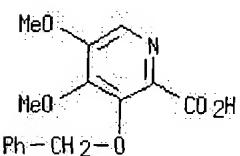
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RN 234113-32-7 HCAPLUS

CN 2-Pyridinecarboxylic acid, 4,5-dimethoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



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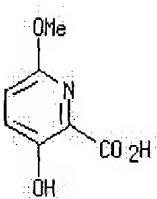
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g cg b cg

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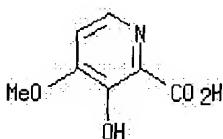
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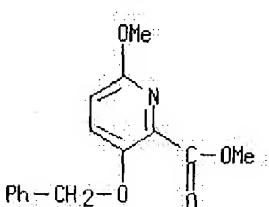
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# HCl

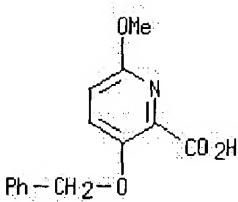
RN 267416-44-4 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 267416-45-5 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-methoxy-3-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 267416-46-6 HCAPLUS

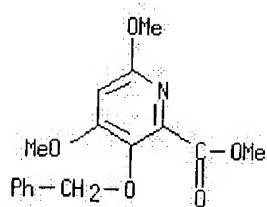
CN 2-Pyridinecarboxylic acid, 4,6-dimethoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)

h

eb c

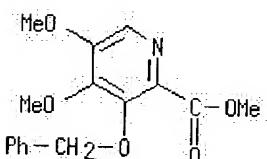
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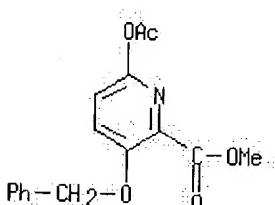
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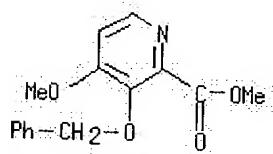
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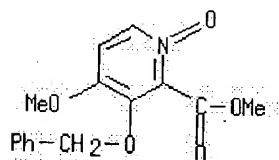
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(9CI) (CA INDEX NAME)



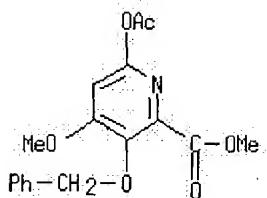
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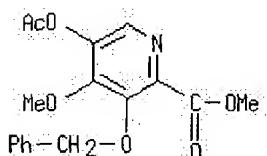
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methyl ester (9CI) (CA INDEX NAME)



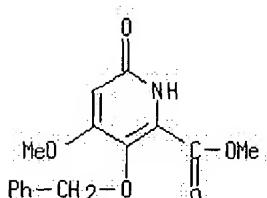
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CN 2-Pyridinecarboxylic acid, 5-(acetyloxy)-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



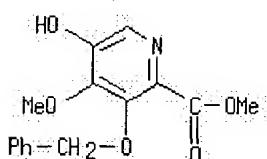
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RN 267417-01-6 HCAPLUS

CN 2-Pyridinecarboxylic acid, 5-hydroxy-4-methoxy-3-(phenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

19

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ENTRY

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TOTAL  
SESSION

685.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

CA SUBSCRIBER PRICE

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FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L3	STRUCTURE UPLOADED
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L5	666 S L3 FULL
L6	STRUCTURE UPLOADED
L7	50 S L6
L8	1060 S L6 FULL
L9	394 S L8 NOT L5

FILE 'HCAPLUS' ENTERED AT 00:57:20 ON 08 NOV 2004

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L11	1 S L10 AND IMAMURA, K?/AU
L12	22 S L10 NOT L11
L13	0 S L12 AND MITOMO, K?/AU
L14	0 S L12 AND YAMADA, N?/AU
L15	0 S L12 AND YAMAMOTO, K?/AU
L16	0 S L12 AND TERAOKA, T?/AU
L17	0 S L12 AND SAKANAKA, O?/AU
L18	0 S L12 AND KURIHARA, H?/AU
L19	0 S L12 AND TANIGUCHI, M?/AU

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FILE 'HCAPLUS' ENTERED AT 01:02:10 ON 08 NOV 2004

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L24	10 S L21 AND INFECT?

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L29	18 S L9/PREP
L30	3 S L29 AND L28

FILE 'CAOLD' ENTERED AT 01:10:12 ON 08 NOV 2004

=> s 19 and 1.27

0 L9

19 L27

L31

0 L9 AND L27

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